

STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 97146

TO: Shaojia A Jiang

Location:

Art Unit: 1617

June 22, 2003

Case Serial Number: 547504

From: P. Sheppard

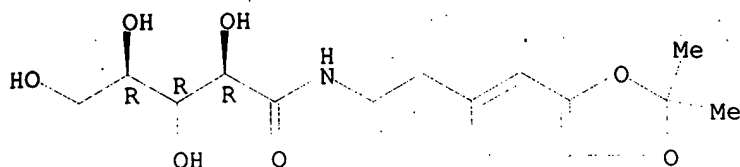
Location: CM1-1E03

Phone: (703) 308-4499

sheppard@uspto.gov

Search Notes

103



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:513623 HCAPLUS

DOCUMENT NUMBER: 129:260700

TITLE: Glycoconjugates of amines: alkylation of primary and secondary amines with N-chloroacetyl-.beta.-glycopyranosylamines

AUTHOR(S): Likhoshersfov, L. M.; Novikova, O. S.; Shibaev, V. N.
CORPORATE SOURCE: N. D. Zelinsky Institute of Organic Chemistry, Russian Academy of Sciences, Moscow, 117913, Russia

SOURCE: Russian Chemical Bulletin (Translation of Izvestiya Akademii Nauk, Seriya Khimicheskaya) (1998), 47(6), 1214-1217

CODEN: RCBUEY; ISSN: 1066-5285

PUBLISHER: Consultants Bureau

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Efficient monoalkylation of a series of primary and secondary amines was demonstrated with the use of N-chloroacetylglycosylamines derived from D-glucose, D-galactose, D-mannose, N-acetyl-D-glucosamine, and lactose. The reaction was shown to be useful for incorporation of carbohydrate residues into physiol. active compds. Glycoconjugates of some derivs. of piperazine, 2-phenylethylamine, tryptamine, and important biogenic amines (norephedrine, octopamine, **dopamine**) were prepd.

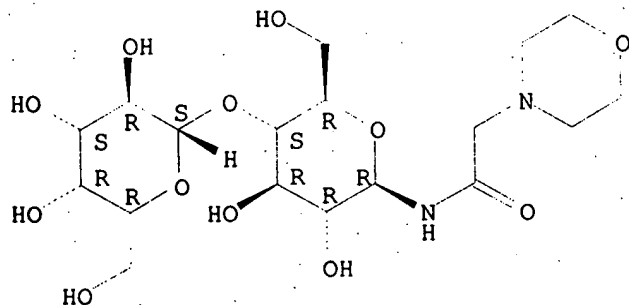
IT 213551-47-4P 213551-48-5P 213551-49-6P
213551-50-9P 213551-51-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of conjugates of primary and secondary amines with N-chloroacetyl-.beta.-glycopyranosylamines)

RN 213551-47-4 HCAPLUS

CN 4-Morpholineacetamide, N-(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)- (9CI) (CA INDEX NAME)

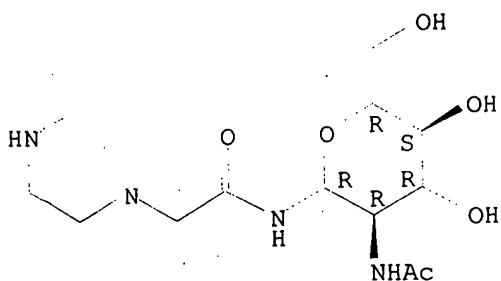
Absolute stereochemistry. Rotation (+).



RN 213551-48-5 HCAPLUS

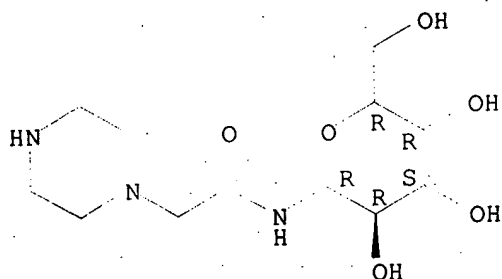
CN 1-Piperazineacetamide, N-[2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



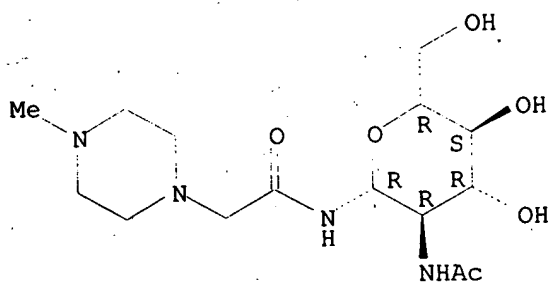
RN 213551-49-6 HCAPLUS
CN 1-Piperazineacetamide, N-.beta.-D-galactopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 213551-50-9 HCAPLUS
CN 1-Piperazineacetamide, N-[2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 213551-51-0 HCAPLUS
CN Pyrrolo[1,2-a]pyrazine-2(1H)-acetamide, N-[2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]hexahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> fil hcaplus
 FILE 'HCAPLUS' ENTERED AT 11:39:30 ON 22 JUN 2003
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

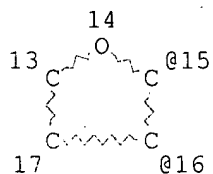
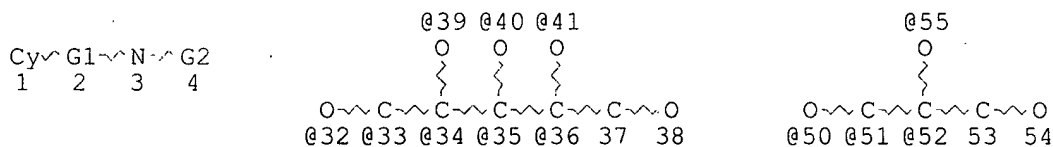
FILE COVERS 1907 - 22 Jun 2003 VOL 138 ISS 26
 FILE LAST UPDATED: 20 Jun 2003 (20030620/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=>
 =>

=> d stat que

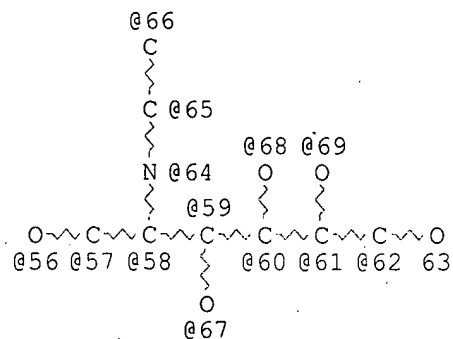
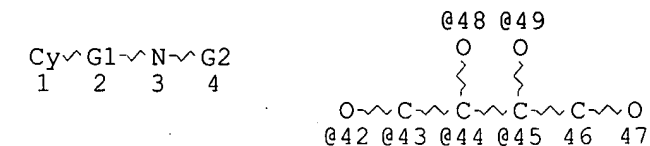
L3 STR



REP G1=(1-6) C
 VAR G2=15/16/32/33/34/35/36/39/40/41/50/51/52/55
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 25

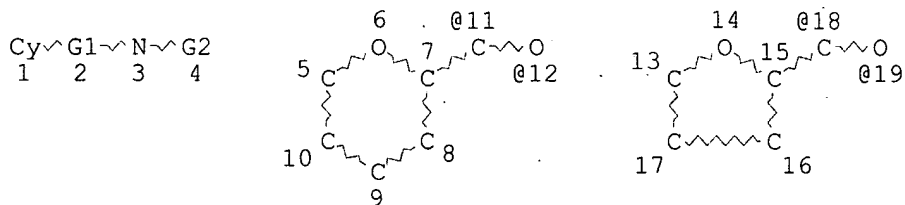
STEREO ATTRIBUTES: NONE
 L4 STR



REP G1=(1-6) C
 VAR G2=42/43/44/45/48/49/56/57/58/59/60/61/62/64/65/66/67/68/69
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE
 L10 9247 SEA FILE=REGISTRY SSS FUL L3 OR L4
 L19 STR

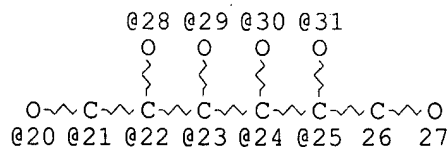


REP G1=(1-6) C
 VAR G2=11/12/18/19
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC I
 NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE
 L21 STR

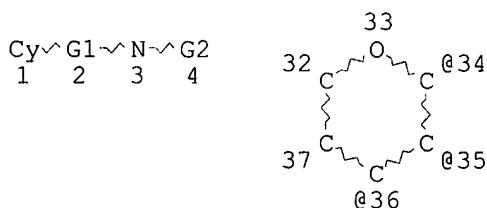
Cy[^]G1[~]N[~]G2
 1 2 3 4



REP G1=(1-6) C
 VAR G2=20/21/22/23/24/25/28/29/30/31
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC I
 NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE
 L22 STR



REP G1=(1-6) C
 VAR G2=34/35/36
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RSPEC I
 NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

L25 6988 SEA FILE=REGISTRY SSS FUL L19 OR L21
 L27 10356 SEA FILE=REGISTRY SSS FUL L22
 L29 26162 SEA FILE=REGISTRY ABB=ON PLU=ON L10 OR L25 OR L27
 L33 2417 SEA FILE=HCAPLUS ABB=ON PLU=ON ?DOPA?(L)2(L)AMINE?
 L34 8463 SEA FILE=HCAPLUS ABB=ON PLU=ON L29
 L35 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L34 AND L33

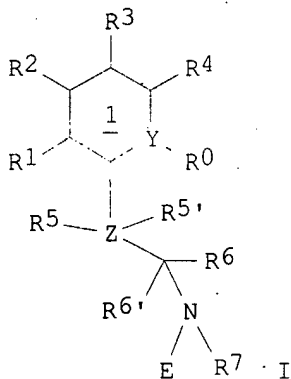
=>
 =>

=> d ibib abs hitstr l35 1-4

L35 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:780925 HCAPLUS

DOCUMENT NUMBER: 135:335169
 TITLE: Pharmaceutical dopamine glycoconjugate compositions and methods of their preparation
 INVENTOR(S): Christian, Samuel T.
 PATENT ASSIGNEE(S): International Medical Innovations, Inc., USA
 SOURCE: PCT Int. Appl., 69 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079244	A1	20011025	WO 2001-US11914	20010412
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6548484	B1	20030415	US 2000-547506	20000412
PRIORITY APPLN. INFO.:			US 2000-547506	A 20000412
OTHER SOURCE(S):			MARPAT 135:335169	
GI				



AB Hydrophilic transportable N-linked glycosyl **dopaminergic** prodrug compds. (I), wherein, ring 1 comprises a cyclic or heterocyclic ring, or aryl or heteroaryl ring, all of said rings comprising 4 to 8 carbon atoms, among which atoms are counted "X" and "Y"; R0, R1, R2, R3 and R4 comprise substituents of Ring ; either of X of Y is optional; each of X and Y, when present comprise a carbon atom, a halogen atom or a lower alkyl; Z, R5 and R5' are optional; when Z is present it comprises a lower alkyl having substituents R5, R5'; R6 and R6' comprise substituents on a carbon atom linking Z with N through a single bond, or when Z is absent, linking N with Ring ; N comprises a nitrogen atom of an **amine** or an **amide** linked with E through a single bond and having R7 as a substituent; and E comprises a saccharide. **Dopamine** glucamine (II) was prepd. by the redn. of isopropylidene-protected **dopamine** gluconamide (prepn. given). **Dopamine** receptor binding activity of II was

studied in vitro using COS-7 cells. A pharmaceutical powder contained II 2.5, sodium citrate 20.0, sorbitol 2.0, flavoring agent 0.1 mg, and water for reconstitution 10 mL.

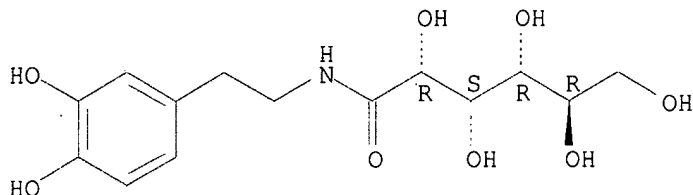
IT 369619-41-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceutical dopamine glycoconjugate compns. and methods of their prepn.)

RN 369619-41-0 HCAPLUS

CN D-Gluconamide, N-[2-(3,4-dihydroxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



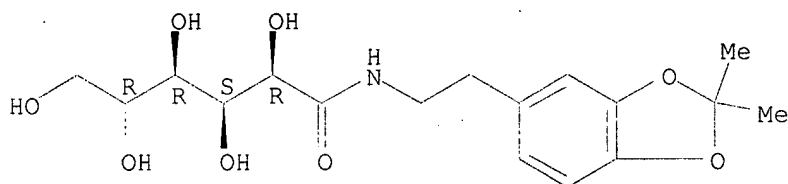
IT 369619-45-4P 369619-49-8P 369619-51-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(pharmaceutical dopamine glycoconjugate compns. and methods of their prepn.)

RN 369619-45-4 HCAPLUS

CN D-Gluconamide, N-[2-(2,2-dimethyl-1,3-benzodioxol-5-yl)ethyl]- (9CI) (CA INDEX NAME)

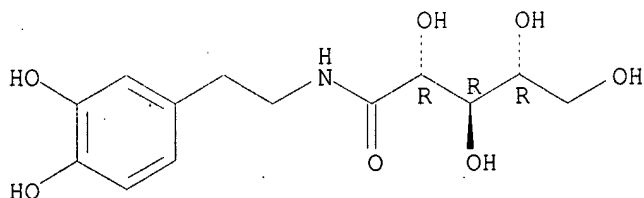
Absolute stereochemistry.



RN 369619-49-8 HCAPLUS

CN D-Ribonamide, N-[2-(3,4-dihydroxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

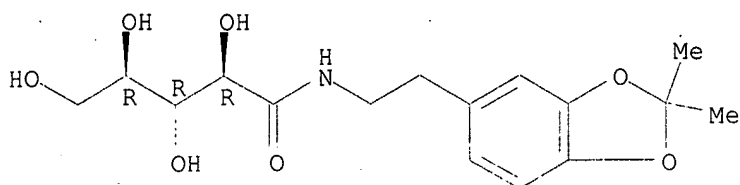
Absolute stereochemistry.



RN 369619-51-2 HCAPLUS

CN D-Ribonamide, N-[2-(2,2-dimethyl-1,3-benzodioxol-5-yl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:513623 HCAPLUS

DOCUMENT NUMBER: 129:260700

TITLE: Glycoconjugates of amines: alkylation of primary and secondary amines with N-chloroacetyl-.beta.-glycopyranosylamines

AUTHOR(S): Likhoshersfov, L. M.; Novikova, O. S.; Shibaev, V. N.

CORPORATE SOURCE: N. D. Zelinsky Institute of Organic Chemistry, Russian Academy of Sciences, Moscow, 117913, Russia

SOURCE: Russian Chemical Bulletin (Translation of Izvestiya Akademii Nauk, Seriya Khimicheskaya) (1998), 47(6), 1214-1217

CODEN: RCBUEY; ISSN: 1066-5285

PUBLISHER: Consultants Bureau

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Efficient monoalkylation of a series of primary and secondary amines was demonstrated with the use of N-chloroacetyl-glycosylamines derived from D-glucose, D-galactose, D-mannose, N-acetyl-D-glucosamine, and lactose. The reaction was shown to be useful for incorporation of carbohydrate residues into physiol. active compds. Glycoconjugates of some derivs. of piperazine, 2-phenylethylamine, tryptamine, and important biogenic amines (norephedrine, octopamine, **dopamine**) were prepd.

IT 213551-47-4P 213551-48-5P 213551-49-6P

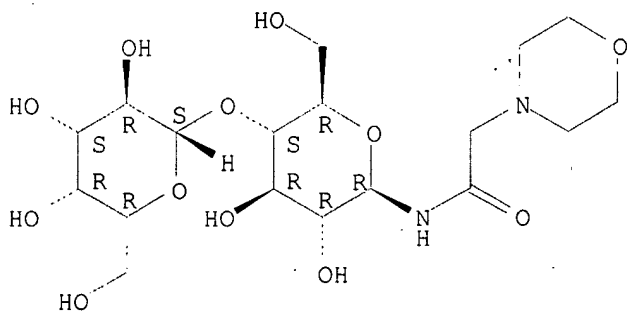
213551-50-9P 213551-51-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of conjugates of primary and secondary amines with N-chloroacetyl-.beta.-glycopyranosylamines)

RN 213551-47-4 HCAPLUS

CN 4-Morpholineacetamide, N-(4-O-.beta.-D-galactopyranosyl-.beta.-D-glucopyranosyl)- (9CI) (CA INDEX NAME)

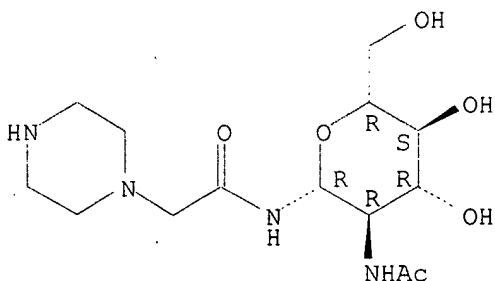
Absolute stereochemistry. Rotation (+).



RN 213551-48-5 HCAPLUS

CN 1-Piperazineacetamide, N-[2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]- (9CI) (CA INDEX NAME)

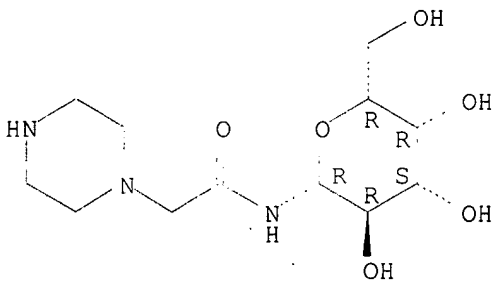
Absolute stereochemistry. Rotation (+).



RN 213551-49-6 HCAPLUS

CN 1-Piperazineacetamide, N-.beta.-D-galactopyranosyl- (9CI) (CA INDEX NAME)

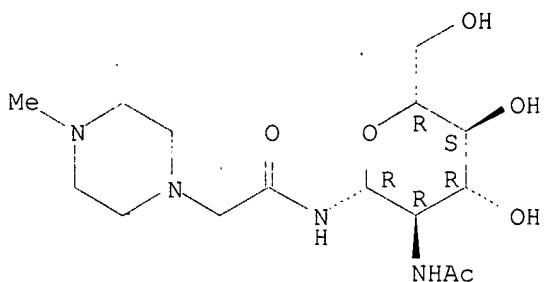
Absolute stereochemistry. Rotation (+).



RN 213551-50-9 HCAPLUS

CN 1-Piperazineacetamide, N-[2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]-4-methyl- (9CI) (CA INDEX NAME)

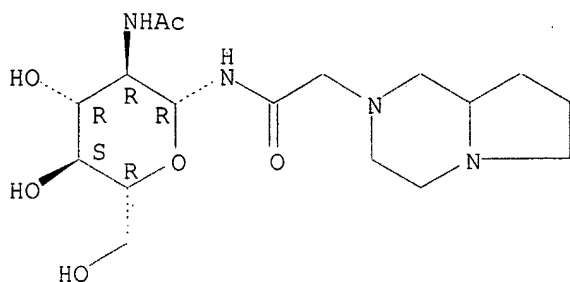
Absolute stereochemistry. Rotation (+).



RN 213551-51-0 HCAPLUS

CN Pyrrolo[1,2-a]pyrazine-2(1H)-acetamide, N-[2-(acetylamino)-2-deoxy-.beta.-D-glucopyranosyl]hexahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:90483 HCAPLUS

DOCUMENT NUMBER: 126:104008

TITLE: Preparation of 1-aminomethyl-5,6-dihydroxy-3,4-dihydro-1H-2-benzopyrans and analogs as dopaminergic agonists
 INVENTOR(S): Schoenleber, Robert W.; Deninno, Michael P.; Basha, Fatima Z.; Ehrlich, Paul P.; Perner, Richard J.; Meyer, Michael D.; Campbell, James R.; Martin, Yvonne C.; Stout, David M.; Debernardis, John F.; Morton, Howard E.; Michaelides, Michael R.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 174 pp.

CODEN: PIXXD2

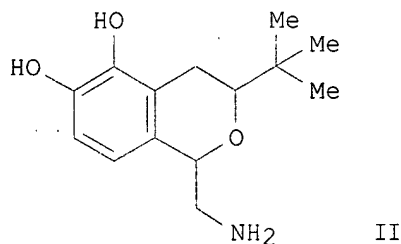
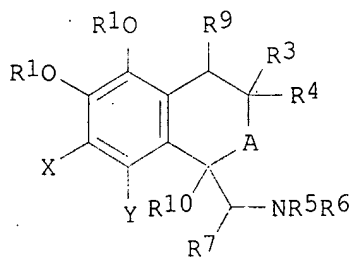
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9638435	A1	19961205	WO 1996-US7361	19960522
W: CA, JP, MX				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 1995-442236	19950530
OTHER SOURCE(S):			MARPAT 126:104008	
GI				



AB Title comps. [I; A = O, S, CR2R8; R1 = H, cleavable group (sic), catechol protective group (sic); R2 = H, (halo)methyl, (halo)ethyl, CH2OH, CH2NH2, etc.; R3 = (cyclo)alkyl, aryl(alkyl), heterocyclyl, etc.; R4, R6, R7 = H or alkyl; R3R4 = alkylene; R5 = H, (cyclo)alkyl, alkanoyl, etc.; NR5R6 = pyrrolidino; R5R7 = (CH2)3; R8-R10 = H; R4R9, R8R10 = bond; X, Y = H, halo, Me, Et; R7Y = atoms to complete a carbocyclic ring] were prepd. Thus, spiro[1,3-benzodioxole-2,1'-cyclohexane] was condensed with

3,3-dimethyl-1,2-epoxybutane and the product cyclocondensed with $\text{BrCH}_2\text{CH}(\text{OMe})_2$ to give cis-1-bromomethyl-3-tert-butyl-5,6-cyclohexylidenedioxy-3,4-dihydro-1H-2-benzopyran which was converted in 3 steps to title compd. cis-II. Data for biol. activity of I were given.

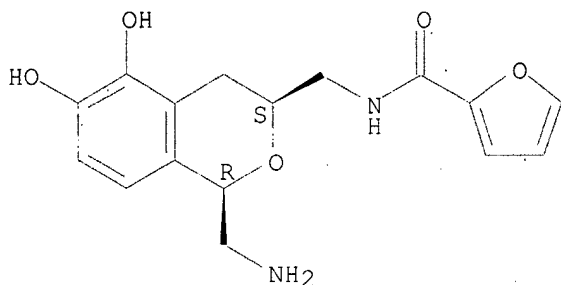
IT 185821-54-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-aminomethyl-5,6-dihydroxy-3,4-dihydro-1H-2-benzopyrans and analogs as dopaminergic agonists)

RN 185821-54-9 HCAPLUS

CN 2-Furancarboxamide, N-[[1-(aminomethyl)-3,4-dihydro-5,6-dihydroxy-1H-2-benzopyran-3-yl]methyl]-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry..



L35 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:590186 HCAPLUS

DOCUMENT NUMBER: 109:190186

TITLE: Products from furans. V. Synthesis of oxygen-containing isosteres of sympathomimetic amines via 6-hydroxy-2H-pyran-3(6H)-ones and their cis-platinum(II) complexes

AUTHOR(S): Georgiadis, Minas P.; Haroutounian, Serkos A.; Bailar, John C., Jr.

CORPORATE SOURCE: Chem. Lab., Agric. Univ. Athens, Athens, 11855, Greece

SOURCE: Journal of Heterocyclic Chemistry (1988), 25(3), 995-1002

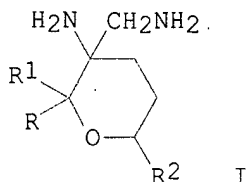
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 109:190186

GI



AB Novel amino analog I [R = H, Me; R1 = 4-MeOC6H4, 4-HOC6H4, 3,4-methylenedioxyphenyl, R2 = H, OMe] of sympathomimetic amines, which contain the pyran ring as a consequence of their common route of prepn., were synthesized. A modified Strecker reaction on tetrahydro-2H-pyran-3-one deriv yielded .alpha.-benzylamino nitriles;

which upon subsequent hydrogenation and hydrogenolysis gave the target 1, 2-diamines I. I were indeed sympathomimetic on the basis of mol. mechanics studies, since they fulfill earlier considerations on the minimal structural requirements, necessary to attain high affinity to **dopamine** receptors. Furthermore I were used as ligands for the synthesis of cis-Platinum(II) complexes.

IT 117056-76-5P 117056-77-6P 117056-78-7P

117056-79-8P 117056-80-1P 117056-81-2P

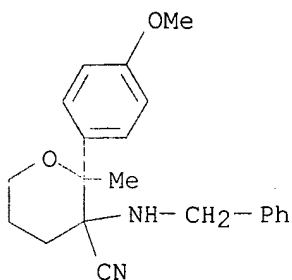
117056-82-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and catalytic hydrogenation of)

RN 117056-76-5 HCAPLUS

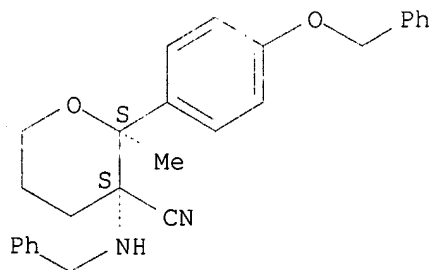
CN 2H-Pyran-3-carbonitrile, tetrahydro-2-(4-methoxyphenyl)-2-methyl-3-[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 117056-77-6 HCAPLUS

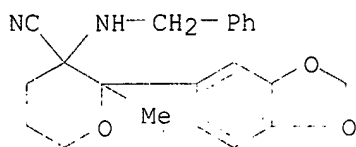
CN 2H-Pyran-3-carbonitrile, tetrahydro-2-methyl-2-[4-(phenylmethoxy)phenyl]-3-[(phenylmethyl)amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 117056-78-7 HCAPLUS

CN 2H-Pyran-3-carbonitrile, 2-(1,3-benzodioxol-5-yl)tetrahydro-2-methyl-3-[(phenylmethyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

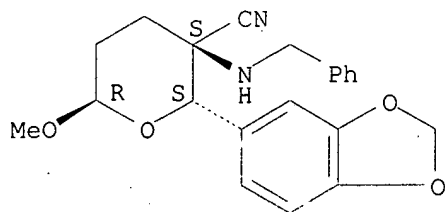


HCl

RN 117056-79-8 HCAPLUS

CN 2H-Pyran-3-carbonitrile, 2-(1,3-benzodioxol-5-yl)tetrahydro-6-methoxy-3-
[(phenylmethyl)amino]-, (2.alpha.,3.beta.,6.beta.)- (9CI) (CA INDEX NAME)

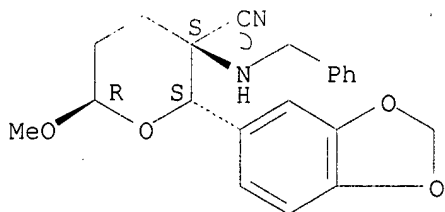
Relative stereochemistry.



RN 117056-80-1 HCAPLUS

CN 2H-Pyran-3-carbonitrile, 2-(1,3-benzodioxol-5-yl)tetrahydro-6-methoxy-3-
[(phenylmethyl)amino]-, monohydrochloride, (2.alpha.,3.beta.,6.beta.)-
(9CI) (CA INDEX NAME)

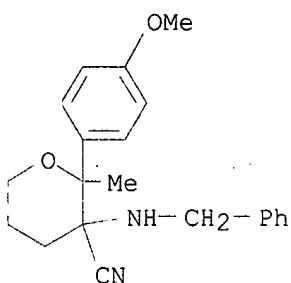
Relative stereochemistry.



● HCl

RN 117056-81-2 HCAPLUS

CN 2H-Pyran-3-carbonitrile, tetrahydro-2-(4-methoxyphenyl)-2-methyl-3-
[(phenylmethyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

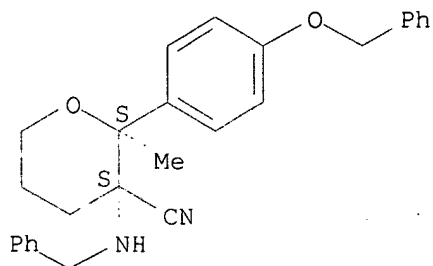


● HCl

RN 117056-82-3 HCAPLUS

CN 2H-Pyran-3-carbonitrile, tetrahydro-2-methyl-2-[4-(phenylmethoxy)phenyl]-3-
[(phenylmethyl)amino]-, monohydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



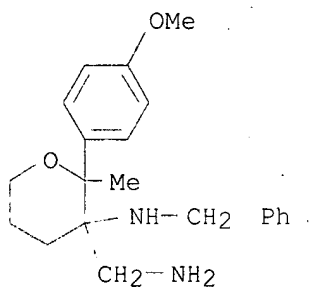
● HCl

IT 117056-87-8P 117056-88-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

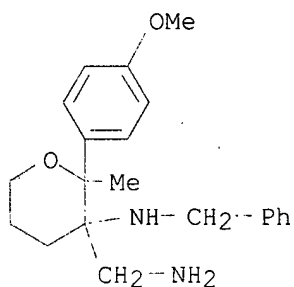
RN 117056-87-8 HCAPLUS

CN 2H-Pyran-3-methanamine, tetrahydro-2-(4-methoxyphenyl)-2-methyl-3-
[(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 117056-88-9 HCAPLUS

CN 2H-Pyran-3-methanamine, tetrahydro-2-(4-methoxyphenyl)-2-methyl-3-
[(phenylmethyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

=> d stat que nos

L3 STR
 L4 STR
 L10 9247 SEA FILE=REGISTRY SSS FUL L3 OR L4
 L19 STR
 L21 STR
 L22 STR
 L25 6988 SEA FILE=REGISTRY SSS FUL L19 OR L21
 L27 10356 SEA FILE=REGISTRY SSS FUL L22
 L29 26162 SEA FILE=REGISTRY ABB=ON PLU=ON L10 OR L25 OR L27
 L33 2417 SEA FILE=HCAPLUS ABB=ON PLU=ON ?DOPA?(L)2(L)AMINE?
 L34 8463 SEA FILE=HCAPLUS ABB=ON PLU=ON L29
 L35 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L34 AND L33
 L36 76 SEA FILE=HCAPLUS ABB=ON PLU=ON L34 AND ?DOPA?
 L37 72 SEA FILE=HCAPLUS ABB=ON PLU=ON L36 NOT L35
 L38 58 SEA FILE=HCAPLUS ABB=ON PLU=ON L37 AND PD<=APRIL 12, 2000
 L39 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L38 AND CONJU?

=>

=>

=> d ibib abs hitstr 139 1

L39 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:72393 HCAPLUS

DOCUMENT NUMBER: 126:84583

TITLE: Methods for G protein-coupled receptor activity
 screening and usefulness for pharmaceutical
 identification

INVENTOR(S): Sadee, Wolfgang

PATENT ASSIGNEE(S): Regents of the University of California, USA

SOURCE: PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9637775	A1	19961128	WO 1996-US7375	19960521 <--
W: CA, JP, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5882944	A	19990316	US 1995-447277	19950522 <--
EP 846265	A1	19980610	EP 1996-937114	19960521 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11505718	T2	19990525	JP 1996-535821	19960521 <--
PRIORITY APPLN. INFO.:				
			US 1995-447277	A 19950522
			US 1993-81612	B2 19930623
			US 1994-261500	B2 19940616
			WO 1996-US7375	W 19960521

AB A method for screening G protein-coupled receptors is provided in which G protein-coupled receptors that are constitutively active are detd., e.g by measuring receptor phosphorylation agonist-independent signaling. When a G protein-coupled receptor is found to be regulated by constitutive activity, then assay systems may be set up to classify test compds. as agonists, neutral antagonists, or neg. antagonists with respect to G protein-coupled receptor signaling and phosphorylation. Such detns. and screening are useful for selecting new pharmaceuticals potentially useful in treating disease states mediated by G protein-coupled receptors, with

applications including treatments in **conjunction** with narcotic analgesia.

IT 101932-71-2, Calyculin A

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

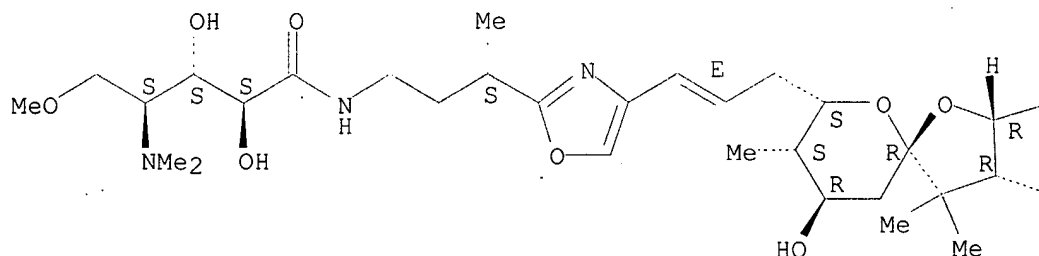
(G protein-coupled receptor activity screening and usefulness for pharmaceutical identification)

RN 101932-71-2 HCAPLUS

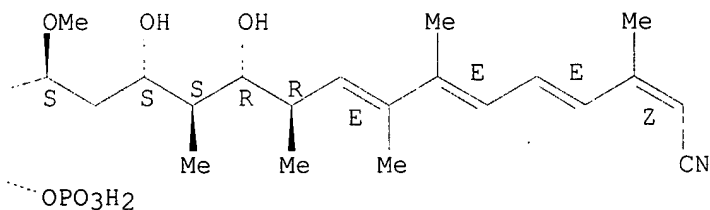
CN L-Ribonamide, N-[(3S)-3-[4-[(1E)-3-[(2R,3R,5R,7S,8S,9R)-2-[(1S,3S,4S,5R,6R,7E,9E,11E,13Z)-14-cyano-3,5-dihydroxy-1-methoxy-4,6,8,9,13-pentamethyl-7,9,11,13-tetradecatetraenyl]-9-hydroxy-4,4,8-trimethyl-3-(phosphonooxy)-1,6-dioxaspiro[4.5]dec-7-yl]-1-propenyl]-2-oxazolyl]butyl]-4-deoxy-4-(dimethylamino)-5-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



=> d stat que nos

L3 STR
 L4 STR
 L10 9247 SEA FILE=REGISTRY SSS FUL L3 OR L4
 L19 STR
 L21 STR
 L22 STR
 L25 6988 SEA FILE=REGISTRY SSS FUL L19 OR L21
 L27 10356 SEA FILE=REGISTRY SSS FUL L22
 L29 26162 SEA FILE=REGISTRY ABB=ON PLU=ON L10 OR L25 OR L27
 L33 2417 SEA FILE=HCAPLUS ABB=ON PLU=ON ?DOPA?(L)2(L)AMINE?
 L34 8463 SEA FILE=HCAPLUS ABB=ON PLU=ON L29
 L35 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L34 AND L33
 L36 76 SEA FILE=HCAPLUS ABB=ON PLU=ON L34 AND ?DOPA?
 L37 72 SEA FILE=HCAPLUS ABB=ON PLU=ON L36 NOT L35
 L38 58 SEA FILE=HCAPLUS ABB=ON PLU=ON L37 AND PD<=APRIL 12, 2000
 L39 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L38 AND CONJU?
 L43 11 SEA FILE=REGISTRY ABB=ON PLU=ON (18281-92-0/BI OR 369619-41-0
 /BI OR 369619-45-4/BI OR 369619-47-6/BI OR 369619-49-8/BI OR
 369619-51-2/BI OR 369619-53-4/BI OR 369619-55-6/BI OR 51-61-6/B
 I OR 642-98-8/BI OR 67-64-1/BI)
 L44 104496 SEA FILE=HCAPLUS ABB=ON PLU=ON L43
 L45 1232 SEA FILE=HCAPLUS ABB=ON PLU=ON (L44 AND L33) NOT (L35 OR
 L39)
 L46 2631 SEA FILE=HCAPLUS ABB=ON PLU=ON 2(W)AMINE
 L47 37 SEA FILE=HCAPLUS ABB=ON PLU=ON L46 AND L45

=>

=>

=> d ibib abs hitrn 147 1-37

L47 ANSWER 1 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:332700 HCAPLUS

DOCUMENT NUMBER: 136:340595

TITLE: Preparation of 5-(3-pyridyl)-4-penten-2-
 amine compounds capable of activating
 cholinergic receptors

INVENTOR(S): Caldwell, William Scott; Dull, Gary Maurice; Bhatti,
 Balwinder Singh; Hadimani, Srishailkumar B.; Park,
 Haeil; Wagner, Jared Miller; Crooks, Peter Anthony

PATENT ASSIGNEE(S): Targacept, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 20 pp., Cont. of U.S. Ser. No.
 522,117.

CODEN: USXXCO

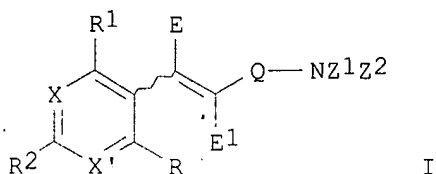
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002052497	A1	20020502	US 2001-973411	20011009
PRIORITY APPLN. INFO.:		US 2000-522117 A1 20000309		
OTHER SOURCE(S):		MARPAT 136:340595		
GI				



AB The present invention relates to compds. capable of activating nicotinic cholinergic receptors, for example, as agonists of specific nicotinic receptor subtypes. Compds. incorporating aryl substituted olefinic amine I where Q is (CE3E4)m-(CE5E6)n; X is carbon nitrogen bonded to a substituent species characterized as having a sigma m value greater than 0 or less than 0; X' is nitrogen characterized as having a sigma m value greater than 0 or less than 0; R-R2 individually are substituent species characterized as having a sigma m value greater than 0, less than 0 or 0; m plus n is 1-8; E1-E6 individually represent hydrogen, lower alkyl or halo substituted lower alkyl, such that at least one E1-E6 is not a hydrogen; Z1 and Z2 individually are hydrogen or lower alkyl; and the wavy line in the structure indicates that the compd. can have a cis (Z) or trans (E) form, are provided and useful for treating a wide variety of central nervous system (CNS) disorders. Representative compds. are (4E)-N-methyl-5-(3-pyridyl)-4-penten-2-amine, (4E)-N-methyl-5-(5-pyrimidinyl)-4-penten-2-amine, (4E)-N-methyl-5-(5-methoxy-3-pyridyl)-4-penten-2-amine, (4E)-N-methyl-5-(6-amino-5-methyl-3-pyridyl)-4-penten-2-amine, (2R)-(4E)-N-methyl-5-(3-pyridyl)-4-penten-2-amine, (2R)-(4E)-N-methyl-5-(5-isopropoxy-3-pyridyl)-4-penten-2-amine, (4E)-N-methyl-5-(5-bromo-3-pyridyl)-4-penten-2-amine, (4E)-N-methyl-5-(5-ethoxy-3-pyridyl)-4-penten-2-amine, (2S)-(4E)-N-methyl-5-(3-pyridyl)-4-penten-2-amine, (4E)-N-methyl-5-(5-isopropoxy-3-pyridyl)-4-penten-2-amine and (2S)-(4E)-N-methyl-5-(5-isopropoxy-3-pyridyl)-4-penten-2-amine. (4E)-N-methyl-5-(3-pyridyl)-4-penten-2-amine hemigalactarate was prepd. and exhibits an Emax of 13% (at a concn. of 100 uM) at muscle-type receptors, indicating that the compd. does not induce activation of muscle-type receptors. The sample exhibits an Emax of 62% (at a concn. of 100 uM) at ganglionic-type receptors. At certain levels the compd. shows CNS effects to a significant degree but show neither undesirable muscle nor ganglion effects to any significant degree. The compd. begins to cause muscle and ganglion effects only when employed in amts. of several times those required to activate rubidium ion flux and dopamine release, thus indicating a lack of certain undesirable side effects in subjects receiving administration of that compd.

IT 51-61-6, Dopamine, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of 5-(3-pyridyl)-4-penten-2-amine compds.
capable of activating cholinergic receptors)

L47 ANSWER 2 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:881121 HCAPLUS

DOCUMENT NUMBER: 134:42065

TITLE: Preparation of aryl substituted olefinic amines as nicotinic cholinergic receptor agonists

INVENTOR(S): Dull, Maurice Dull; Miller, Craig Harrison; Caldwell, William Scott; Lynm, Dwo; Bhatti, Balwinder Singh; Schmitt, Jeffrey Daniel; Byrd, Gary Dwight; Hadimani, Srishailkumar Basawannappa

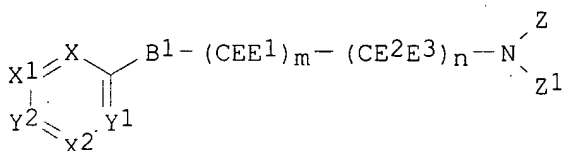
PATENT ASSIGNEE(S): Targacept, Inc., USA

SOURCE: PCT Int. Appl., 92 pp.

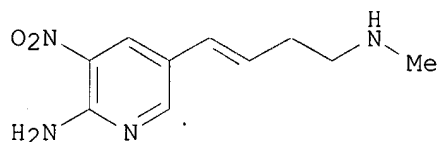
CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000075110	A1	20001214	WO 2000-US15560	20000606
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TZ, UA, UG, US, VZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6492399	B1	20021210	US 1999-327774	19990607
US 6455554	B1	20020924	US 2000-570226	20000512
EP 1185514	A1	20020313	EP 2000-938183	20000606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003501416	T2	20030114	JP 2001-501591	20000606
US 2003087915	A1	20030508	US 2002-244693	20020916
PRIORITY APPLN. INFO.:				
			US 1999-327141	A 19990607
			US 1999-327774	A 19990607
			US 1998-98133	A2 19980616
			US 2000-570226	A1 20000512
			WO 2000-US15560	W 20000606

OTHER SOURCE(S): MARPAT 134:42065
 GI



I



II

AB The title compds. (I) [wherein X, X1, X2, Y1, and Y2 = independently N, N(:O), or substituted C; < 3 of X, X1, X2, Y1, and Y2 = N or N(:O) and .ltoreq. 1 of X, X1, X2, Y1, and Y2 = N(:O); m + n = 1-6; B1 = 2-carbon bridging group; Z, Z1, E, E1, E2, and E3 = independently = H or Me] were prepd. and the compds. tested for the treatment of central nervous system (CNS) disorders. For example, amination of 4-bromo-1-butene with Me-NH2 (57.6%), followed by N-protection with benzoyl chloride (56.3%), Pd-catalyzed coupling of the olefin with 2-amino-5-bromo-3-nitropyridine (51.7%), and deprotection (66.7%) afforded (3E)-N-methyl-4-(5-nitro-6-aminopyridin-3-yl)-3-buten-1-

amine (II). II exhibited good high affinity binding to certain CNS nicotinic receptors with K_i of 3 nM. It exhibited an E_{max} value of 0% for **dopamine** release relative to (S)-(-)-nicotine, indicating selectivity in eliciting neurotransmitter release. In the rubidium ion flux assay, II gave an EC_{50} value of 26,000 nM and an E_{max} value of 22%. Neurotransmitter release from rat brain synaptosomes in the presence of II was measured as an E_{max} value of 33%. Finally, II exhibited E_{max} values of 10% and 11% at concns. of 100 μ M for muscle-type and ganglionic-type receptors, resp. Thus, I provide a therapeutic window for utilization in the treatment of CNS disorders without undesirable side effects.

IT 51-61-6, Dopamine, biological studies

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(prepn. of aryl substituted olefinic amine nicotinic cholinergic receptor agonists by Pd-catalyzed coupling of olefins with aryl halides)

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 3 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:812279 HCAPLUS

DOCUMENT NUMBER: 132:149228

TITLE: Morphological and biochemical characterizations of the great scallop *Pecten maximus* metamorphosis

AUTHOR(S): Robert, Rene; Nicolas, Laurence; Moisan, Christine; Barbier, Georges

CORPORATE SOURCE: Laboratoire de physiologie des invertébrés marins, Brest, 29200, Fr.

SOURCE: Comptes Rendus de l'Académie des Sciences, Série III: Sciences de la Vie (1999), 322(10), 847-853
CODEN: CRASEV; ISSN: 0764-4469

PUBLISHER: Editions Scientifiques et Médicales Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: French

AB To characterize *P. maximus* metamorphosis within a hatchery environment, the relationships existing among the various larval rearing parameters, the biochem. compn. of the larvae and metamorphosis were detd. Metamorphosis levels are correlated with the percentages of double ring larvae, as well as with the larval lipid content. A multiple regression incorporating the percentage of double ring larvae and larval lipid content shows that these 2 combined parameters explain 50% of the total metamorphosis variance, with an equal relative importance for each of them. To identify other possible endogenous markers, the kinetics of biogenic **amines** were also examd. throughout larval and post-larval development. A steady increase in serotonin and **dopamine** levels was recorded during larval development while a sudden decrease in both mols. was noted during metamorphosis. It is suggested that these 2 **amines** may be used as indicators of larval competence for *P. maximus* metamorphosis.

IT 51-61-6, Dopamine, biological studies

RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)

(biogenic amines and lipids in scallop metamorphosis)

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 4 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:287605 HCAPLUS

DOCUMENT NUMBER: 124:333689

TITLE: Evidence for uptake-mediated efflux of catecholamines from pulmonary endothelial cells of perfused lungs of rats

AUTHOR(S): Westwood, Nicola N.; Scarcella, Deborah L.;
 Bryan-Lluka, Lesley J.
 CORPORATE SOURCE: Dep. of Physiology and Pharmacology, The Univ. of
 Queensland, Queensland, 4072, Australia
 SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology (1996),
 353(5), 528-535
 CODEN: NSAPCC; ISSN: 0028-1298
 PUBLISHER: Springer
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Previous pharmacol. studies have demonstrated that pulmonary endothelial cells and noradrenergic neurons possess the same transporter for inward transport of catecholamines, uptake₁. In noradrenergic neurons, it has been shown that uptake₁ is also involved in the carrier-mediated outward transport, or efflux, of noradrenaline and **dopamine**. The aim of the present study was to examine the efflux of noradrenaline and **dopamine** from perfused lungs of rats to det. whether uptake₁, in addn. to diffusion, mediates efflux of catecholamines from pulmonary vascular endothelial cells. The effects of reducing the cellular sodium gradient and of substrates and inhibitors of uptake₁ on the efflux of 3H-noradrenaline and 3H-**dopamine** from rat lungs were measured. Isolated perfused lungs of rats (monoamine oxidase and catechol-O-methyltransferase inhibited) were loaded with 3H-(-)-noradrenaline or 3H-**dopamine** for 10 min followed by perfusion with either (1) a low sodium, **amine**-free Krebs soln., in which NaCl was replaced by either Tris.HCl or LiCl, for 15 or 10 min, resp. or (2) **amine**-free Krebs soln. for 30 min in the absence or presence of a substrate or inhibitor of uptake₁ for the last 15 min. The rate consts. for spontaneous efflux of noradrenaline and **dopamine** from the lungs were 0.0163 min⁻¹ and 0.0466 min⁻¹, resp. When NaCl was replaced by Tris.HCl during efflux, the rate consts. for efflux of noradrenaline and **dopamine** were increased 2.5-fold and 3-fold, resp., whereas, when NaCl was replaced by LiCl, the rate consts. were increased 8-fold and 4-fold, resp. The uptake₁ substrates, **dopamine** (1 and 3 μ Mol/l) and adrenaline (40 μ Mol/l), both caused a rapid and marked increase in the efflux of noradrenaline, while noradrenaline (4 μ Mol/l) had a similar effect on the efflux of **dopamine**. The uptake₁ inhibitors, imipramine (3 and 10 μ Mol/l) and nisoxetine (50 nmol/l), caused small and gradual increases in the efflux of noradrenaline and **dopamine** from rat lungs. These results demonstrate the efflux of noradrenaline and **dopamine** from rat lungs is affected by alterations in the normal sodium gradient across the cell and by drugs that interact with the uptake₁ transporter. Thus, it can be concluded that the spontaneous efflux of catecholamines from pulmonary vascular endothelial cells is mediated predominantly by uptake₁. In addn., efflux of catecholamines from the lungs has a diffusional component, which, combined with inhibition of reuptake, accounts for the small increase in **amine** efflux by inhibitors of uptake₁.

IT 51-61-6, Dopamine, biological studies
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (evidence for uptake₁-mediated efflux of catecholamines from pulmonary endothelial cells of perfused lungs of rats)

L47 ANSWER 5 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:883444 HCAPLUS

DOCUMENT NUMBER: 123:276590

TITLE: 5-Hydroxytryptamine stimulates glucose transport in cardiomyocytes via a monoamine oxidase-dependent reaction

AUTHOR(S): Fischer, Yvan; Thomas, Julia; Kamp, Joachim;
 Juengling, Eberhard; Rose, Horst; Carpené, Christian;

Kammermeier, Helmut
 CORPORATE SOURCE: Med. Fac. RWTH Aachen, Inst. Physiology, Aachen,
 D-52057, Germany
 SOURCE: Biochemical Journal (1995), 311(2), 575-83
 CODEN: BIJOAK; ISSN: 0264-6021
 PUBLISHER: Portland Press
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB This study deals with the effect of 5-hydroxytryptamine (5-HT; serotonin) on glucose transport in isolated rat cardiac myocytes. In these cells, 5-HT (10-300 μ M), as well as tryptamine, 5-methoxytryptamine and **dopamine**, elicited a 3-5 fold increase in glucose transport, as compared with control. This effect was maximal after 90 min, and was concomitant with a 1.8- and 1.5-fold increase in the amts. of glucose transporters GLUT1 and GLUT4 at the cell surface of the cardiomyocytes, as detd. by using the photoaffinity label 3H-2-N-[4-(1-azido-2,2,2-trifluoroethyl)benzoyl]-1,3-bis-(D-mannose-4-yl)propyl-2-amine (3H-ATb-BMPA). In contrast, 3-3000 μ M of the selective 5-HT receptor agonists 5-carboxyamido-tryptamine, α -methyl-serotonin, 2-methyl-serotonin or renzapride failed to stimulate glucose transport. The effect of 5-HT was not affected by the 5-HT receptor antagonists methysergide (1 μ M), ketanserin (1 μ M), cyproheptadine (1 μ M), MDL 72222 (1 μ M) or ICS 205-930 (3 μ M); by the adrenergic receptor antagonists prazosin (1 μ M), yohimbine (1 μ M) or propranolol (5 μ M); or by the **dopaminergic** antagonists SCH 23390 (1 μ M) or haloperidol (1 μ M). The monoamine oxidase inhibitors clorgyline (1 μ M) and tranylcypromine (1 μ M) completely suppressed the effect of 5-HT, whereas the control and insulin-stimulated rates of glucose transport were unaffected. Addn. of catalase or glutathione diminished the 5-HT-dependent stimulation of glucose transport by 50%; these two factors are known to favor the degrdn. of H₂O₂ (which can be formed during the deamination of **amines** by monoamine oxidases). Glutathione also depressed the stimulatory action of exogenously added H₂O₂ (200 μ M) by 30%. Furthermore, in cells treated with 5-HT, a time-dependent accumulation of 5-hydroxy-1H-indol-3-ylacetic acid (a product of 5-HT metab. via monoamine oxidases) was obsd., which paralleled the changes in glucose transport. In conclusion, the stimulation of glucose transport by 5-HT in cardiomyocytes is not mediated by a 5-HT₁, 5-HT₂, 5-HT₃ or 5-HT₄ receptor, nor by an adrenergic or **dopaminergic** receptor, but is likely to occur through the degrdn. of 5-HT by a monoamine oxidase and concomitant formation of H₂O₂.

IT 51-61-6, Dopamine, biological studies
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (serotonin and other amines stimulate glucose transport in cardiomyocytes via monoamine oxidase-dependent reaction)

L47 ANSWER 6 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:626733 HCAPLUS
 DOCUMENT NUMBER: 121:226733
 TITLE: Relationship between corticotropin-releasing factor and interleukin-2: evolutionary evidence
 AUTHOR(S): Ottaviani, Enzo; Franchini, Antonella; Caselgrandi, Eva; Cossarizza, Andrea; Franceschi, Claudio
 CORPORATE SOURCE: Department of Animal Biology, via Berengario 14, Modena, 41100, Italy
 SOURCE: FEBS Letters (1994), 351(1), 19-21
 CODEN: FEBLAL; ISSN: 0014-5793
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The addn. of ACTH-releasing factor (CRF) to molluscan hemocytes induces the release of biogenic **amines** (norepinephrine, epinephrine,

dopamine), a phenomenon we have considered as an ancestral type of stress response [(1992) Gen. Comp. Endocrinol. 87, 354-360]. A similar but less significant response was obsd. following the addn. of interleukin-2 (IL-2). Pre-incubation of hemocytes with IL-2 or anti-IL-2 monoclonal antibody significantly reduced or completely eliminated the CRF-induced release of biogenic **amines**. Further direct evidence of competition between CRF and IL-2 was revealed by immunocytochem. and cytofluorimetric anal. The data are compatible with the presence of a unique (ancestral) receptor on molluscan hemocytes, capable of binding both CRF and IL-2, two key mols. of the neuroendocrine and immune system, resp.

IT 51-61-6, **Dopamine**, biological studies

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(interleukin-2 competes with ACTH-releasing factor in induction of biogenic **amine** release from molluscan hemocytes)

L47 ANSWER 7 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:216252 HCAPLUS

DOCUMENT NUMBER: 108:216252

TITLE: Chronic cocaine effects on peripheral biogenic amines: a long-term reduction in peripheral dopamine and phenylethylamine production

AUTHOR(S): Karoum, Farouk; Fawcett, Ralph W.; Wyatt, Richard Jed
CORPORATE SOURCE: Neuropsychiatry Branch, Natl. Inst. Ment. Health, Washington, DC, 20032, USA

SOURCE: European Journal of Pharmacology (1988), 148(3), 381-8
CODEN: EJPHAZ; ISSN: 0014-2999

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The short- (during 12 h after last treatment) and long- (6 wk after last treatment) term effects of repeated administration of cocaine on the total output of norepinephrine (NE) and its metabolites (sum NE), **dopamine** (DA) and its metabolites (sum DA) as well as the excretion of 5-hydroxyindoleacetic acid (5-HIAA) and phenylethylamine were evaluated in rats. The concn. of NE, DA and 3,4-dihydroxyphenylacetic acid (**DOPAC**) in the celiac ganglion after 1, 2 and 3 wk of repeated cocaine administration were also measured. Sum NE remained unchanged during the cocaine treatment but NE and normetanephrine excretions were significantly decreased and increased resp. 5-HIAA excretion was significantly reduced only after 3 wk cocaine treatment. In the celiac ganglion, NE and **DOPAC** contents showed tendencies towards being increased and decreased, resp. DA content was not changed. The excretions of DA, **DOPAC**, homovanillic acid (HVA) and phenylethylamine were significantly reduced during chronic exposure to cocaine. The above short-term changes in DA and phenylethylamine persisted for periods as long as 6 wk after 1 wk repeated exposure to cocaine. Apparently, chronic exposure to cocaine can produce preferential long-term deficiencies in the prodn. of DA and phenylethylamine in the periphery. Peripheral noradrenergic and serotonergic neuronal systems are apparently minimally affected. The close assocn. between DA or sum DA and phenylethylamine excretion suggest these 2 **amines** may coexist in the same neuron.

IT 51-61-6, **Dopamine**, biological studies

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(metab. of, cocaine effect on)

L47 ANSWER 8 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:75419 HCAPLUS

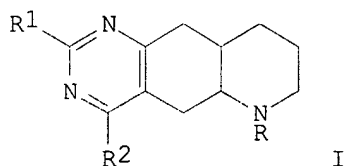
DOCUMENT NUMBER: 108:75419

TITLE: Synthesis of 2-aminopyrimido[4,5-g]quinolines as

INVENTOR(S): dopamine agonists
 Weigel, Leland Otto; Staten, Gilbert Stanley
 PATENT ASSIGNEE(S): Lilly, Eli, and Co. , USA
 SOURCE: Eur. Pat. Appl., 11 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 241186	A1	19871014	EP 1987-302662	19870327
EP 241186	B1	19900613		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4764609	A	19880816	US 1986-845916	19860331
ZA 8702278	A	19881026	ZA 1987-2278	19870327
AT 53584	E	19900615	AT 1987-302662	19870327
IL 82027	A1	19910310	IL 1987-82027	19870327
CA 1289955	A1	19911001	CA 1987-533159	19870327
ES 2038171	T3	19930716	ES 1987-302662	19870327
DK 8701612	A	19871001	DK 1987-1612	19870330
AU 8770776	A1	19871008	AU 1987-70776	19870330
AU 584286	B2	19890518		
HU 43606	A2	19871130	HU 1987-1363	19870330
HU 196402	B	19881128		
SU 1676450	A3	19910907	SU 1987-4202218	19870330
JP 62240684	A2	19871021	JP 1987-80860	19870331
CN 87102570	A	19871216	CN 1987-102570	19870331
CN 1016176	B	19920408		
US 4831145	A	19890516	US 1988-197712	19880523
PRIORITY APPLN. INFO.:			US 1986-845916	19860331
			EP 1987-302662	19870327

GI



AB The title compds. (I; R = H, cyano, Cl-3 alkyl, allyl; R1 = amino, acylamino; R2 = H, Me) were prepd. as **dopamine** agonists (no data). 8aR-trans-(-)-Octahydro-1-propyl-6(2H)quinolinone in THF was added to a -15.degree. soln. of K tert-butoxide in THF. The mixt. was allowed to warm to room temp., stirred 1 h, cooled to -25.degree., and EtO2CH in THF was added. The mixt. was stirred at -15.degree. for 60 h, treated with HOAc at 0.degree., cooled to -37.degree., and tosyl chloride in THF was added. The mixt. was stirred at 0.degree. for 18 h to give trans-(-)-octahydro-7-[[[(4-methylphenyl)sulfonyl]oxy]methylene]-1-propyl-6(2H)-quinolinone in situ, which was added to a 55.degree. soln. of guanidine carbonate in DMF. The mixt. was allowed to cool to room temp. and stirred for .apprx.16 h to give, after an elaborate workup, 72.9% trans-(-)-5,5a,6,7,8,9,9a,10-octahydro-6-propylpyrimido[4,5-g]quinoline-2-amine.

IT 51-61-6, Dopamine, biological studies
 RL: BIOL (Biological study)
 (agonists, aminopyrimidoquinolines as)

L47 ANSWER 9 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:53048 HCAPLUS

DOCUMENT NUMBER: 108:53048

TITLE: Biogenic catecholamines in the cnidarian *Renilla kollikeri*: radioenzymic and chromatographic detection

AUTHOR(S): De Waele, Jean Pascal; Anctil, Michel; Carlberg, Mats

CORPORATE SOURCE: Cent. Rech. Sci. Neutrol., Univ. Montreal, Montreal, QC, H3C 3J7, Can.

SOURCE: Canadian Journal of Zoology (1987), 65(10), 2458-65
CODEN: CJZOAG; ISSN: 0008-4301

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The presence of biogenic catecholamines in the colonial anthozoan *R. kollikeri* was assessed with a radioenzymic assay and thin-layer chromatog. sepn. of exts. from different parts of the colony. Confirmation of catecholamine detection was also obtained by an HPLC technique with electrochem. detection. All 3 catecholamines, i.e., **dopamine**, noradrenaline, and adrenaline, were detected to varying degrees in the colonial compartments. Unidentified inhibitory factor(s) endogenous to *Renilla* tissues prevented the detection of internal catecholamine stds. to an extent that was dependent on time of sampling and part of the colony assayed. Peaks in catecholamine levels fluctuated sharply over the 10-mo sampling period. **Dopamine** was the most frequently detected catecholamine, with levels generally higher than those of the other **2 amines**, esp. in the autozooids. These results suggest that catecholamines are present in the most primitive metazoan phylum known to possess a nervous system. The physiol. significance of these findings is discussed.

IT 51-61-6, Dopamine, biological studies

RL: BIOL (Biological study)
(of cnidarian tissues)

L47 ANSWER 10 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:49423 HCAPLUS

DOCUMENT NUMBER: 108:49423

TITLE: Simultaneous detection of indoleamines and dopamine in rat dorsal raphe nuclei using specific antibodies

AUTHOR(S): Geffard, M.; Tuffet, S.; Mons, N.; Chagnaud, J. L.

CORPORATE SOURCE: Inst. Biochim. Cell. Neurochim., Univ. Bordeaux II, Bordeaux, F-33077, Fr.

SOURCE: Histochemistry (1987), 88(1), 61-4
CODEN: HCMYAL; ISSN: 0301-5564

DOCUMENT TYPE: Journal

LANGUAGE: English

AB By using a monoclonal antibody against **dopamine** and a rabbit antiserum against 5-HT, 5-methoxytryptamine, or tryptamine, the simultaneous localization of **2 amines** was achieved in glutaraldehyde-fixed sections of rat dorsal raphe nuclei. In this staining procedure, the 1st antigen was localized by using 3,3'-diaminobenzidine (DAB), whereas the 2nd antigen was stained by using the 1-naphthol basic dye method. The 2 antigens were localized in different cells or structures. No overlap of the staining was obsd., thus indicating that **dopamine** is not localized with 5-HT, 5-methoxytryptamine, or tryptamine.

IT 51-61-6, Dopamine, analysis

RL: ANT (Analyte); ANST (Analytical study)
(detn. of, in brain dorsal raphe nucleus by immunohistochem., indoleamine simultaneous detection with)

L47 ANSWER 11 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1987:634591 HCAPLUS

DOCUMENT NUMBER: 107:234591

TITLE: Biogenic amines in the Arthus reaction

AUTHOR(S): Taniguchi, Shinkichi; Tachibana, Takao; Miwa, Soichi;
Fujiwara, Motokazu; Imamura, Sadao
CORPORATE SOURCE: Fac. Med., Kyoto Univ., Kyoto, 606, Japan
SOURCE: Experimental and Molecular Pathology (1987), 47(2),
185-92
CODEN: EXMPA6; ISSN: 0014-4800

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The concns. of serotonin, tryptamine, **dopamine**, and tyramine were quant. detd. in the Arthus reaction in guinea pigs, since the activity of histamine-N-methyltransferase (HMT), a major histamine-metabolizing enzyme that had been demonstrated to be inhibited by such biogenic **amines** in vitro, decreased significantly in the reaction site. The concns. of serotonin, tryptamine, and **dopamine** were unchanged in dinitrochlorobenzene allergic and croton oil dermatitis except for a slight increase of tryptamine in the latter. Tyramine was not quant. demonstratable. The concn. of serotonin decreased to about 30% that of the control until 1 h, followed by a prominent increase to about 2-fold at 6 h after the initiation of the Arthus reaction accompanied with a concomitant decrease in HMT activity. However, the concns. of tryptamine and **dopamine** were decreased in the reaction site, and the net decrease of **2 amines** was far greater than the increased amt. of serotonin. The decrease in HMT activity cannot be stoichiometrically well elucidated from these results, and therefore, the presence of other hypothetic inhibitory factors that are increased in the Arthus reaction is suspected.

IT 51-61-6, Dopamine, biological studies

RL: BIOL (Biological study)
(in Arthus reaction)

L47 ANSWER 12 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1987:615550 HCAPLUS

DOCUMENT NUMBER: 107:215550

TITLE: Amine dependence of proliferative activity in two transplantable lines of mouse colonic carcinoma

AUTHOR(S): Tutton, Peter J. M.; Barkla, David H.

CORPORATE SOURCE: Dep. Anat., Monash Univ., Clayton, 3168, Australia

SOURCE: Virchows Archiv B: Cell Pathology Including Molecular Pathology (1987), 53(3), 161-5
CODEN: VAAZA2; ISSN: 0340-6075

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Two s.c.-implanted mouse colon carcinoma cell lines displayed variable responses to **amine** antagonists. One of them was sensitive to histamine H2 receptor and **dopamine** D2 receptor antagonists but resistant to antagonists of serotonin uptake or serotonin receptors. The other cell line was sensitive to the serotonin antagonists but was resistant to antagonists of the other **2 amines**. The variable responses of the **2** cell lines were due to differences in the extent of inhibition of cell proliferation rather than differences in cell loss or stromal effects.

IT 51-61-6, Dopamine, biological studies

RL: BIOL (Biological study)
(carcinoma of colon dependence on, cell proliferation in relation to)

L47 ANSWER 13 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1987:452824 HCAPLUS

DOCUMENT NUMBER: 107:52824

TITLE: Catecholamine content of cat carotid bodies: changes after sympathectomy and superfusion with barium replacing calcium solutions

AUTHOR(S): Ambrosio, S.; Mahy, N.; Such, P.; Gual, A.

CORPORATE SOURCE: Fac. Med., Univ. Barcelona, Barcelona, Spain

SOURCE: Biogenic Amines (1987), 4(2), 95-8
CODEN: BIAME7; ISSN: 0168-8561

DOCUMENT TYPE: Journal
LANGUAGE: English

AB Cat carotid bodies (CB's) were superfused in vitro for 20 min in Tyrode's soln. and their catecholamine contents detd. by HPLC with electrochem. detection. Normal CB's had nearly twice as much noradrenaline (NA) as **dopamine** (DA); their adrenaline (A) content was approx. 1% that of NA. Sympathectomized CB's had similar NA and DA contents, but that of A fell below detectable values. Superfusion of normal or sympathectomized CB's with Tyrode's soln. in which the Ca^{2+} had been replaced by Ba^{2+} reduced NA levels by >50%, without modifying DA and A contents. This NA depletion was not obsd. in the presence of Co^{2+} . Results confirm that NA is more abundant than DA in cat CB's and suggest different processes for the release of these **2 amines** from glomus tissue.

IT 51-61-6, Dopamine, biological studies

RL: BIOL (Biological study)
(of carotid body, barium effect on)

L47 ANSWER 14 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1985:448675 HCAPLUS

DOCUMENT NUMBER: 103:48675

TITLE: Very rapid turnover of dopamine in noradrenaline cell body regions

AUTHOR(S): Anden, Nils Erik; Grabowska Anden, Maria; Lindgren, Silvana; Oweling, Magnus

CORPORATE SOURCE: Dep. Med. Pharm., Biomed., Uppsala, S-751 24, Swed.

SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology (1985), 329(3), 258-63

CODEN: NSAPCC; ISSN: 0028-1298

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The contents of **dopamine** [51-61-6], noradrenaline [51-41-2], and of their deaminated metabolites, 3,4-dihydroxyphenylacetic acid (**DOPAC**) [102-32-9] and 3,4-dihydroxyphenylethylene glycol (**DOPEG**) [3343-19-9], were detd. in rats in **2** noradrenaline cell body regions, i.e., the superior cervical ganglion and the locus ceruleus, and in a **dopamine** cell body region, the substantia nigra. In the **2** noradrenaline cell body regions, the tyrosine hydroxylase inhibitor .alpha.-methyltyrosine rapidly lowered the contents of noradrenaline, and **DOPAC**. The **dopamine** .beta.-hydroxylase inhibitor FLA-63 elevated the content of **dopamine** and it lowered the content of noradrenaline in the **2** noradrenaline regions, but it was ineffective in the substantia nigra. The monoamine oxidase inhibitor pargyline reduced the deaminated catechols and increased somewhat the contents of the **2 amines** in the superior cervical ganglion and in the locus ceruleus. The .alpha.-methyltyrosine-induced disappearance of **dopamine** in the **2** noradrenaline cell body regions was markedly inhibited by FLA-63 and pargyline in combination, but not by only 1 of the **2** drugs. Axonal transport did not contribute to the disappearance of **dopamine** in the superior cervical ganglion. A high dose of reserpine reduced the contents of **dopamine** and noradrenaline in the superior cervical ganglion and in the locus ceruleus. **Dopamine** appears to turn over very rapidly in the noradrenaline cell body regions. In the superior cervical ganglion, .apprx.50% of the formed **dopamine** is converted to noradrenaline, whereas the other 50% of **dopamine** is metabolized or released. Thus, a considerable part of the **dopamine** and its metabolites in the blood and the urine might originate in the sympathetic ganglia.

IT 51-61-6, biological studies

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(metab. of, in brain regions)

L47 ANSWER 15 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:523729 HCAPLUS
 DOCUMENT NUMBER: 101:123729
 TITLE: Vasoactive agonists prevent erythrocyte extravasation in thrombocytopenic hamsters
 AUTHOR(S): Shepro, David; Welles, Seth L.; Hechtman, Herbert B.
 CORPORATE SOURCE: Dep. Biol. Surg., Boston Univ., Boston, MA, USA
 SOURCE: Thrombosis Research (1984), 35(4), 421-30
 CODEN: THBRAA; ISSN: 0049-3848
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The mediating action of selected vasoactive **amines** and their resp. antagonists on vascular fragility, visible as cutaneous petechias, was assayed with thrombocytopenic (TCP) hamsters. 5-HT [50-67-9], norepinephrine (NE) [51-41-2], epinephrine [51-43-4], **dopamine** [51-61-6], and isoproterenol [7683-59-2] administered i.p. reduced petechias within 10 min; phenylephrine had no effect. Of the natural **amines**, 5-HT and NE were most effective in reducing petechial sensitivity to values obtained with untreated, normal animals; hence, only these 2 **amines** were tested pharmacol. Pretreatment of TCP animals with ketanserin [74050-98-9] or propranolol [525-66-6], administered i.p. or i.v., abolished any petechial inhibitory action of 5-HT and NE, resp.; pretreatment with phenoxybenzamine reduced the NE inhibition of petechias, but to a lesser degree than did propranolol. In contrast, atenolol, prazosin, and yohimbine had no effect. Ketanserin abolished the action of NE, but adrenoceptor blockers had no effect on 5-HT-treated TCP hamsters. Apparently, 5-HT and NE inhibition of petechias may be receptor-mediated, and there may be receptor interaction. This was supported by the observation that non-additive subthreshold doses of 5-HT and NE, which individually did not prevent petechial formation in TCP hamsters, when combined totally inhibited petechias. The theorized importance of endogenous 5-HT and NE to maintain postcapillary venule junctional integrity (site of petechial hemorrhaging) was also demonstrated by treating normal hamsters with drugs known to block or antagonize either 5-HT or NE uptake. In every instance petechial sensitivity rapidly occurred, and the loss of microvascular integrity in ketanserin-treated hamsters mimicked quant. the petechial sensitivity obsd. with TCP animals.

IT 51-61-6, biological studies

RL: BIOL (Biological study)

(blood vessel integrity maintenance by, in thrombocytopenia)

L47 ANSWER 16 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:504690 HCAPLUS
 DOCUMENT NUMBER: 101:104690
 TITLE: Evidence that dopamine synthesis in the frontal cortex occurs predominantly in noradrenergic neurons
 AUTHOR(S): Marcou, M.; Fadda, F.; Rossetti, Z. L.; Mosca, E.; Gessa, G. L.
 CORPORATE SOURCE: Inst. Pharmacol., Univ. Cagliari, Italy
 SOURCE: Advances in the Biosciences (Oxford) (1984), 48(Neuromodulation Brain Funct.), 25-30
 CODEN: AVBIB9; ISSN: 0065-3446
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB **Dopamine** (DA) [51-61-6] synthesis, detd. by the rate of **DOPA** [59-92-7] accumulation after **DOPA** decarboxylase inhibition by NSD 1015, was only 22% higher in the rat medial prefrontal cortex (MPFC) than in the dorsolateral frontal cortex (DLFC). The DA content of the MPFC and DLFC was 82 and 23 ng/g, resp., whereas the norepinephrine (NE) [51-41-2] level was 279 and 253 ng/g,

resp. The rate of NE formation in the DLFC after the inhibition of tyrosine hydroxylase with .alpha.-methyltryosine was 64 ng/g/h, and the rate of DA formation was approximated as >100 ng/g/h. Since there is at least a 2-fold difference in the synthesis rate of these **2 amines**, only a minor fraction of DA is converted to NE in the noradrenergic neurons of the frontal cortex.

IT **51-61-6**, biological studies

RL: FORM (Formation, nonpreparative)

(formation of, by brain frontal cortex)

L47 ANSWER 17 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1984:466640 HCAPLUS

DOCUMENT NUMBER: 101:66640

TITLE: Determination of daily variations of brain 5-hydroxytryptamine and dopamine turnovers and of the clearance of their acidic metabolites in conscious rats by repeated sampling of cerebrospinal fluid

AUTHOR(S): Hutson, P. H.; Sarna, G. S.; Curzon, G.

CORPORATE SOURCE: Dep. Neurochem., Inst. Neurol., London, WC1N 2NS, UK

SOURCE: Journal of Neurochemistry (1984), 43(1), 291-3

CODEN: JONRA9; ISSN: 0022-3042

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Central 5-HT [50-67-9] and **dopamine** (DA) [51-61-6]

turnovers were estd. simultaneously in conscious freely moving rats kept on a 12-h dark/12-h light cycle by sampling cisternal cerebrospinal fluid of each animal before and after giving probenecid and detg. the accumulation of the acidic metabolites of the **2 amines**

. The turnovers of both transmitters and the clearances of their acid metabolites from the brain were significantly greater during the dark (red light) period than during the white light period.

IT **51-61-6**, biological studies

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(metab. of, by brain, circadian rhythm of)

L47 ANSWER 18 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1983:173740 HCAPLUS

DOCUMENT NUMBER: 98:173740

TITLE: Regional distributions of catecholamines in dog cerebral arteries. Existence of dopaminergic fibers

AUTHOR(S): Suzuki, Yoshio; Okada, Tomohisa

CORPORATE SOURCE: Sch. Med., Nagoya Univ., Nagoya, 466, Japan

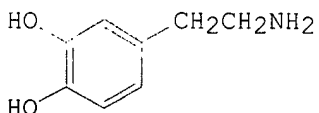
SOURCE: Archiv fuer Japanische Chirurgie (1982), 51(2), 201-7

CODEN: NIGHAE; ISSN: 0003-9152

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

GI



I

AB The regional distributions of **dopamine** (I) [51-61-6]

and noradrenaline (II) [51-41-2] were investigated in dog cerebral arteries using high-performance liq. chromatog. with electrochem. detection. The distribution patterns of these **2 amines**

are different since there is a wide fluctuation in the ratio between the concns. of I and II. For example, the ratios of I to II in the anterior

cerebral artery and anterior inferior cerebellar artery are 2-4-fold higher than that in the basilar artery or middle cerebral artery, suggesting that I has another role in addn. to being a precursor of II, i.e., it may also act as a neurotransmitter contained in its own nerve fibers. The concns. of both **amines** following postganglionic sympathetic denervation were investigated. Postganglionic denervation, by removal of the superior cervical ganglion, produced a significant redn. in the concns. of both **amines** on the ipsilateral side and also a mild redn. on the contralateral side, indicating that the removed ganglion innervated the cerebral arteries of both sides. However, there was an apparent discrepancy in the decrease between I and II, since the decrease of I was less compared with the decrease of II. Thus, a small amt. of **dopaminergic** fibers exist in cerebral arteries which originate from a source different from the superior cervical ganglion.

IT 51-61-6, biological studies

RL: PROC (Process)

(of cerebral artery, regional distribution of)

L47 ANSWER 19 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1983:84053 HCAPLUS

DOCUMENT NUMBER: 98:84053

TITLE: Regional distribution of dopamine and norepinephrine in canine cerebral arteries - effect of pre- or postganglionic sympathetic denervation

AUTHOR(S): Suzuki, Yoshio; Okada, Tomohisa; Shibuya, Masato; Mutsuga, Naomi; Kageyama, Naoki; Hidaka, Hiroyoshi

CORPORATE SOURCE: Sch. Med., Nagoya Univ., Nagoya, 466, Japan

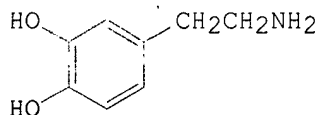
SOURCE: Brain Research (1983), 258(1), 53-8

CODEN: BRREAP; ISSN: 0006-8993

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB The regional distribution of **dopamine** (DA) (I) [51-61-6

] and norepinephrine (NE) [51-41-2] was investigated in dog cerebral arteries using high-performance liq. chromatog. with electrochem. detection. The distribution patterns of these 2 **amines** differed and there was a wide fluctuation in the ratio between the amts. of DA and NE. The ratios of DA to NE in the anterior cerebral artery and the anterior cerebellar artery were 2-4 times higher than in the basilar or middle cerebral arteries, thereby suggesting that DA plays a role other than that of precursor of NE. The concns. of both **amines** following pre- or postganglionic sympathetic denervation (superior cervical ganglion) were investigated. After preganglionic denervation, neither **amine** showed significant changes in concn. Postganglionic denervation one week prior to sacrifice resulted in a redn. in the concns. of both **amines**; however, the decrease in DA was less than that of NE. The origin of DA in the cerebral arteries apparently differs from that of the sympathetic nerves, via the superior cervical ganglion.

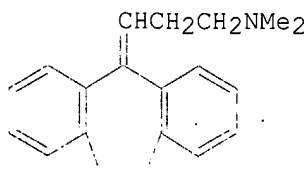
IT 51-61-6, biological studies

RL: BIOL (Biological study)

(of cerebral artery, superior cervical ganglion in relation to)

L47 ANSWER 20 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1983:12475 HCAPLUS
 DOCUMENT NUMBER: 98:12475
 TITLE: Protective action of diazepam and of sympathomimetic amines against amitriptyline-induced toxicity
 AUTHOR(S): Follmer, Christopher H.; Lum, Bert K. B.
 CORPORATE SOURCE: John A. Burns Sch. Med., Univ. Hawaii, Honolulu, HI, USA
 SOURCE: Journal of Pharmacology and Experimental Therapeutics (1982), 222(2), 424-9
 CODEN: JPETAB; ISSN: 0022-3565
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Factors that contribute to the lethality of amitriptyline (I) [50-48-6] overdose were studied in cats. Amitriptyline (50 mg/kg) given i.p. to unanesthetized cats produced convulsions in all of the animals and death in 5 of 6 animals; pretreatment with diazepam [439-14-5] (5 mg/kg) protected against the convulsions and death. Respiratory depression contributed to the mortality when amitriptyline was given i.v. in cats anesthetized with pentobarbital as indicated by the finding that artificial respiration delayed the time of death induced by a continuous i.v. infusion of the drug. The i.v. infusion of amitriptyline in pentobarbitalized cats under artificial respiration produced death due to cardiovascular collapse. The latter was characterized by hypotension, bradycardia, depression of myocardial contractile force, atrioventricular block, intraventricular conduction delay, and cardiac arrhythmias. These effects appear to be due to a direct membrane (quinoline-like) cardiotoxic action of amitriptyline. **dopamine** [51-61-6] And **dobutamine** [34368-04-2] were effective in protecting the animals against the acute cardiovascular collapse induced by amitriptyline. The protection was assocd. with a diminution of the hypotension, the neg. inotropic and chronotropic actions, and the incidence of antrioventricular block produced by the tricyclic antidepressant drug. The results suggest that the pos. chronotropic, inotropic and dromotropic actions of the **amines** may all be contributory factors in their protective action. **isoproterenol** [7683-59-2] And **norepinephrine** [51-41-2] were less effective than the other 2 **amines**.

IT 51-61-6, biological studies

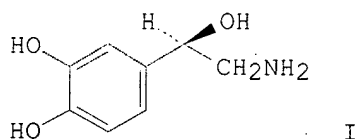
RL: BIOL (Biological study)

(amitriptyline toxicity inhibition by diazepam and, poisoning in relation to)

L47 ANSWER 21 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1982:593741 HCAPLUS
 DOCUMENT NUMBER: 97:193741
 TITLE: Ambient temperature effects on brain catecholamines of some Egyptian vertebrates
 AUTHOR(S): Mohamed, Mohamed Ismail; Aly, Mona Sharkawy
 CORPORATE SOURCE: Fac. Sci., Cairo Univ., Cairo, Egypt
 SOURCE: Journal of Thermal Biology (1982), 7(3), 167-71
 CODEN: JTBIDS; ISSN: 0306-4565
 DOCUMENT TYPE: Journal

LANGUAGE: English
GI



AB In the gerbil *Gerbillus pyramidum*, the palm dove *Streptopelia senegalensis aegyptiaca*, and the lizard *Agama stellis*, cold exposure produced variable changes in norepinephrine (I) [51-41-2] levels, whereas heat exposure provoked a general increase in the I and **dopamine** (II) [51-61-6] levels in some brain regions. A single heat dose decreased markedly both I and II levels in the gerbil brain, but increased them in the dove brain. Two heat doses increased the 2 **amines** in gerbils and increased II in the 4 brain regions of the dove. Exposure of the lizard to a single heat dose or to 2 heat doses caused a fall in the I levels and an increase in the II levels. Thus, the storage and release of I and II in the central nervous system may vary with changing ambient temps.

IT 51-61-6, biological studies
RL: BIOL (Biological study)
(of brain, of vertebrates, temp. effect on)

L47 ANSWER 22 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:602281 HCAPLUS

DOCUMENT NUMBER: 93:202281

TITLE: Catecholamine levels and turnover during brain ischemia in the rat

AUTHOR(S): Bralet, J.; Beley, P.; Bralet, A. M.; Beley, A.

CORPORATE SOURCE: Lab. Pharmacodyn. Physiol. Pharm., Fac. Med. Pharm., Dijon, Fr.

SOURCE: Journal of Neural Transmission (1972-1989) (1980), 48(3), 143-55

CODEN: JNTMAH; ISSN: 0300-9564

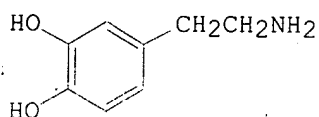
DOCUMENT TYPE: Journal

LANGUAGE: English

AB Unilateral brain ischemia was induced in the rat by injecting radioactive microspheres into the left internal carotid artery. The microspheres were mainly distributed in the left cerebral hemisphere which contained 8-10-fold more microspheres than the contralateral hemisphere. Embolization caused **dopamine** (DA) and noradrenaline (NA) depletion only in the left hemisphere. NA levels were already reduced 2 h after injury, while DA was still unaltered after 6 h. A 30-40% depletion was obsd. for the 2 **amines** after 24 h. Catecholamine turnover was estd. by measuring the **amine** depletion after synthesis inhibition with .alpha.-methyl-p-tyrosine. During the 1st 2 h following embolization, DA and NA depletions were slightly increased only in the left hemisphere, indicating an increase in catecholamine efflux. At 24 h, an important retardation in **amine** disappearance after synthesis inhibition was found for DA and NA in the left hemisphere and to a lesser extent for DA in the right hemisphere, suggesting a redn. of the physiol. activity of catecholaminergic neurons. These biochem. alterations can be related to the poststroke behavioral changes of the embolized animals which exhibited an initially increased motor activity followed by a lethargic state.

IT 51-61-6, biological studies
RL: BIOL (Biological study)
(turnover of, by brain in brain ischemia)

L47 ANSWER 23 OF 37 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1980:463087 HCAPLUS
 DOCUMENT NUMBER: 93:63087
 TITLE: Effects of an organophosphate (dichlorvos) on open field behavior and locomotor activity: correlation with regional brain monoamine levels
 AUTHOR(S): Ali, S. Fatehyab; Chandra, Om; Hasan, Mahdi
 CORPORATE SOURCE: Jawaharlal Nehru Med. Coll., Aligarh Muslim Univ., Aligarh, 202001, India
 SOURCE: Psychopharmacology (Berlin, Germany) (1980), 68(1), 37-42
 CODEN: PSCHDL; ISSN: 0033-3158
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Dichlorvos [62-73-7] was administered i.p. (3 mg/kg) daily for 10 days to a group of rats. Open-field behavior was significantly depressed below the mean of the control group. On day 7, ambulation was reduced to 24% of the mean but recovered to 60% on day 10. Similarly, rearing response was decreased on day 7 and showed a fast recovery on day 10 but the preening response further declined on day 10. Defecation, on the contrary, was suppressed to 0% on day 7 and showed complete recovery on day 10. Motor activity showed a significant depression and fine movements were reduced more than gross movements in the 2nd phase. **Dopamine (I)** [51-61-6] was significantly decreased on days 5 and 7 but showed a 13% recovery in the brain stem on day 10. Norepinephrine [51-41-2] was significantly reduced in the cerebral hemisphere while serotonin [50-67-9] was decreased both in the cerebral hemisphere and in the brain stem. Neither of these **2 amines** showed significant recovery on day 10. Interesting concordance of the open-field behavioral changes with the levels of I, norepinephrine, and serotonin in the various regions of the rat brain was noticeable and was discussed.

IT 51-61-6, biological studies
 RL: BIOL (Biological study)
 (of brain, dichlorvos effect on, locomotor activity and open-field behavior in relation to)

L47 ANSWER 24 OF 37 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1979:84686 HCAPLUS
 DOCUMENT NUMBER: 90:84686
 TITLE: Effect of adjuvant-induced arthritis on central and adrenal biogenic amines (dopamine, noradrenaline, adrenaline, 5-hydroxytryptamine) induced in inbred Lewis rats
 AUTHOR(S): Tissot, Monique; Beauvallet, Marcelle; Giroud, Jean Paul; Lenoir, Monique; Solier, Monique
 CORPORATE SOURCE: Dep. Pharmacol., Hop. Cochin, Paris, Fr.
 SOURCE: Journal de Pharmacologie (1978), 9(3), 193-203
 CODEN: JNPHAG; ISSN: 0021-793X
 DOCUMENT TYPE: Journal
 LANGUAGE: French
 AB The levels of biogenic **amines** in the brain (noradrenaline, **dopamine**, and 5-hydroxytryptamine) and adrenal medulla

(noradrenaline and adrenaline) were measured during the 28-day inflammatory reactions induced in rats by s.c. injection of either incomplete (ICFA) or complete Freund adjuvant (CFA). Rats treated with ICFA showed no variation in either brain or adrenal **amine** levels. In CFA-treated rats, the brain level of noradrenaline increased on the 21st day after the injection while the level of adrenaline in the adrenal medulla increased on both the 21st and 28th days after the injection. These rises were accompanied by an increase in the urinary excretion of these **2 amines**.

IT 51-61-6, biological studies

RL: BIOL (Biological study)

(of adrenal medulla and brain, in adjuvant-induced arthritis)

L47 ANSWER 25 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1978:183393 HCAPLUS

DOCUMENT NUMBER: 88:183393

TITLE: Further observations of the effects of noradrenaline and dopamine on cortical neurons

AUTHOR(S): Bevan, P.; Bradshaw, C. M.; Pun, R.; Slater, N. T.; Szabadi, E.

CORPORATE SOURCE: Dep. Psychiatry, Univ. Manchester, Manchester, UK

SOURCE: British Journal of Pharmacology (1977), 61(3), 479P-480P

CODEN: BJPCBM; ISSN: 0007-1188

DOCUMENT TYPE: Journal

LANGUAGE: English

AB On 68% of cortical neurons excited and on 82% of the neurons depressed in halothane-anesthetized rats, noradrenaline had a greater apparent potency than **dopamine**. The mean equipotent current ratio for excitatory and depressant responses was 2.8 and 3.2, resp. The mean transport no. of noradrenaline and **dopamine** was 0.330 and 0.376, resp., suggesting that the greater potency of noradrenaline than **dopamine** is genuine rather than a difference between the mobilities of the **2 amines**. The relative potencies did not correlate with the depth of the neuron in the cortex. .alpha.-Flupenthixol was a more effective **dopamine** antagonist than .beta.-flupenthixol.

IT 51-61-6, biological studies

RL: BIOL (Biological study)

(brain neurotransmission response to)

L47 ANSWER 26 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1977:496410 HCAPLUS

DOCUMENT NUMBER: 87:96410

TITLE: Catecholamine receptors mediating cockroach salivary secretion

AUTHOR(S): Smith, Roy K.

CORPORATE SOURCE: Dep. Vet. Physiol., R. Sch. Vet. Stud., Edinburgh, UK

SOURCE: Biochemical Society Transactions (1977); 5(1), 173-4

CODEN: BCSTB5; ISSN: 0300-5127

DOCUMENT TYPE: Journal

LANGUAGE: English

AB **Dopamine** [51-61-6], adrenaline [51-43-4], noradrenaline [51-41-2], and 5-hydroxytryptamine [50-67-9] increased the fluid secretion by isolated salivary glands of *Nauphoeta cinerea*; the max. elicited secretory rates were 77.6, 83.0, 61.8, and 35.2 nL/min resp. Phentolamine acted as a competitive antagonist to secretory stimulation by **dopamine**, whereas the 5-hydroxytryptamine receptor had a much lower affinity for the same antagonist, suggesting that the **2 amines** were acting on different receptors.

IT 51-61-6, biological studies

RL: BIOL (Biological study)

(salivary gland secretion in response to, in cockroach)

L47 ANSWER 27 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1976:458980 HCAPLUS

DOCUMENT NUMBER: 85:58980

TITLE: Analysis of catechol amines by high-speed liquid chromatography

AUTHOR(S): Kojima-Sudo, Ayako

CORPORATE SOURCE: Natl. Inst. Ind. Health, Kawasaki, Japan

SOURCE: Industrial Health (1974), 12(3-4), 153-69

CODEN: INHEAO; ISSN: 0019-8366

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Rapid sepn. of **DOPA**, noradrenaline, octopamine, adrenaline, and **dopamine** was accomplished by means of high speed liq. chromatog. with gradient elution of an SCX column by Na⁺-contg. aq. soln. After this chromatog. sepn., adrenaline and noradrenaline were fluorometrically detd. by using an automated trihydroxyindole method and **dopamine** was measured by an automated ethylenediamine method. By the present procedure 1 ng of adrenaline and noradrenaline and 10 ng of **dopamine** easily were detected. The former 2 amines in urine-alumina extract can be analyzed very rapidly, 3 samples/hour.

IT 51-61-6

RL: ANT (Analyte); ANST (Analytical study)

(detn. of, by liq. chromatog.)

L47 ANSWER 28 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1976:54559 HCAPLUS

DOCUMENT NUMBER: 84:54559

TITLE: Participation of adreno-, dopamine-, and serotonergic mechanisms of the septum in conditioned responses of different biological modalities in rats

AUTHOR(S): Talalaenko, A. N.

CORPORATE SOURCE: Med. Inst., Donetsk, USSR

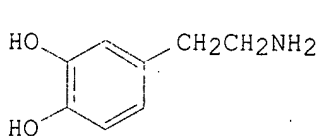
SOURCE: Fiziologicheskii Zhurnal SSSR imeni I. M. Sechenova (1975), 61(12), 1789-92

CODEN: FZLZAM; ISSN: 0015-329X

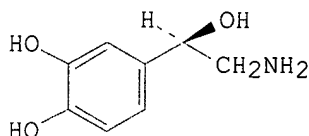
DOCUMENT TYPE: Journal

LANGUAGE: Russian

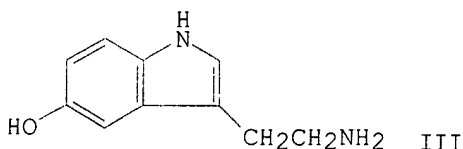
GI



I



II



III

AB Microinjections of 3 .mu.g **dopamine** (I) [51-61-6] into the lateral regions of the septum of rats did not affect motor activity but facilitated the avoidance response considerably decreasing its latency. At 3 .mu.g, noradrenaline (II) [51-41-2] stimulated, whereas serotonin (III) [50-67-9] had no effect on, spontaneous motor activity.

Neither of these 2 amines affected muscle tone but both prolonged the latency of avoidance and food-seeking conditioned responses. Microinjections of 5 .mu.g I or III into the septum inhibited conditioning in rats, decreasing motor activity and increasing the latency of the different conditioned responses.

IT 51-61-6

RL: BIOL (Biological study)

(behavior response to septum administration of)

L47 ANSWER 29 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1975:475045 HCAPLUS

DOCUMENT NUMBER: 83:75045

TITLE: Use of the fluorescence histochemical method to estimate catechol amine content in brain

AUTHOR(S): Bacopoulos, N. G.; Bhatnagar, R. K.; Schnute, W. J.; Van Orden, L. S., III

CORPORATE SOURCE: Coll. Med., Univ. Iowa, Iowa City, IA, USA

SOURCE: Neuropharmacology (1975), 14(4), 291-9

CODEN: NEPHBW; ISSN: 0028-3908

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The relation between intensity of H2CO-induced catechol amine fluorescence, detd. microfluorometrically, and catechol amine content, measured biochem., was examd. in various regions of rat brain. Linear relations between these 2 parameters were obtained in the caudate nucleus, dorsomedial hypothalamus, arcuate nucleus, paraventricular nucleus, and internal and external layers of the median eminence. Two pharmacol. methods of catechol amine depletion were employed: inhibition of synthesis by .alpha.-methyl-p-tyrosine and interference with storage by reserpine. Fluorescence intensity and catechol amine content declined in a proportionate manner following either drug. The catechol amine content of single median eminence specimens was measured by a fluorometric method. Both anal. methods employed could differentiate between norepinephrine and dopamine. The histochem. method did not differentiate between the 2 amines.

IT 51-61-6

RL: ANT (Analyte); ANST (Analytical study)

(detn. of, in brain, by fluorescence histochem.)

L47 ANSWER 30 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1975:70834 HCAPLUS

DOCUMENT NUMBER: 82:70834

TITLE: Content and distribution of amines in the rat testis during development

AUTHOR(S): Lombard-Des Gouttes, M. N.; Falck, B.; Owman, Ch.;

Rosengren, E.; Sjoberg, N. O.; Walles, B.

CORPORATE SOURCE: Dep. Histol., Univ. Lund, Lund, Swed.

SOURCE: Endocrinology (1974), 95(6), 1746-9

CODEN: ENDOAO; ISSN: 0013-7227

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A combination of fluorometric detns., fluorescence histochem., and cytospectrofluorometry was used. The results obtained disagreed with those reported by Zieher et al (1971). Only small amts. of 5-hydroxytryptamine (I) and histamine were present at birth, and little or no dopamine was found. The values for I and histamine may well correspond to the no. of histochem. visible mast cells contg. these 2 amines. There was no evidence that the testicular tubules and endocrine interstitial cells contained any significant amts. of dopamine or I.

IT 51-61-6

RL: BIOL (Biological study)

(of testis, in development)

L47 ANSWER 31 OF 37 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1972:522150 HCAPLUS
 DOCUMENT NUMBER: 77:122150
 TITLE: Central effect of amphetamine, ephedrine, and
 p-hydroxylated derivatives in the rat after unilateral
 lesion of nigrostriatal pathways
 AUTHOR(S): Boulou, R.; Rapin, J. R.; Lebas, M.; Jacquot, C.
 CORPORATE SOURCE: Lab. Pharmacodyn., Fac. Pharm., Paris, Fr.
 SOURCE: Psychopharmacologia (1972), 26(1), 54-61
 CODEN: PSYPAG; ISSN: 0033-3158
 DOCUMENT TYPE: Journal
 LANGUAGE: French
 AB D-amphetamine bitartrate [3994-11-4] (5-10 mg/kg, i.v.; 5 mg/kg, i.p.) or
 l-ephedrine-HCl [50-98-6] (120 mg/kg, i.p.) induced ipsilateral rotational
 movement in rats whose nigrostriatal system had been unilaterally
 destroyed by electrocoagulation. The effect of the 2
amines may be due to the release of newly synthesized
dopamine [51-61-6] at the level of the striatum.
 Dl-p-hydroxyephedrine-HCl [7437-54-9] or dl-p-hydroxyamphetamine-HBr
 [140-36-3] had no effect on motor activity, probably owing to their
 inability to cross the blood-brain barrier.
 IT 51-61-6
 RL: BIOL (Biological study)
 (nervous system response to amphetamine and ephedrine in brain
 nigrostriatal lesions in relation to)

L47 ANSWER 32 OF 37 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1972:68431 HCAPLUS
 DOCUMENT NUMBER: 76:68431
 TITLE: Control of adrenocorticotropin and
 melanocyte-stimulating hormone secretion
 AUTHOR(S): Ganong, W. F.
 CORPORATE SOURCE: Sch. Med., Univ. California, San Francisco, CA, USA
 SOURCE: Hypothalamus, Proc. Workshop Conf. (1970), Meeting
 Date 1969, 313-33. Editor(s): Martini, Luciano.
 Academic: New York, N. Y.
 CODEN: 23EVAY
 DOCUMENT TYPE: Conference
 LANGUAGE: English
 AB In pentobarbital [57-33-0]-treated dogs, i.v. .alpha.-methyltryptamine (I)
 [299-26-3] or .alpha.-ethyltryptamine (II) [2235-90-7] diminished the
 adrenal venous 17-hydroxycorticoid output. The effects of the 2
amines were antagonized by i.v. ACTH. Administration of L-
dopa [59-92-7] produced an inhibition of ACTH secretion, while
 i.v. **dopamine** [51-61-6] or norepinephrine [51-41-2]
 did not. All 3 produced a pressor response. There may exist in the dog a
 hypothalamic adrenergic system that inhibits the secretion of ACTH.

L47 ANSWER 33 OF 37 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1970:442298 HCAPLUS
 DOCUMENT NUMBER: 73:42298
 TITLE: Fluorimetric measurement of urinary catechol amines
 AUTHOR(S): Riotte, Maurice; Peyrin, L.; Vacquier, M.; Cussac, J.
 P.; Naud, D.
 CORPORATE SOURCE: Lab. Pharmacodyn., Fac. Med., Lyons, Fr.
 SOURCE: Revue Europeenne d'Etudes Cliniques et Biologiques
 (1970), 15(3), 343-51
 CODEN: REEBB3; ISSN: 0035-3019
 DOCUMENT TYPE: Journal
 LANGUAGE: French
 AB The catechol **amines**, adrenaline, noradrenaline and

dopamine, were measured in normal human urine and pheochromocytoma patients' urine by the trihydroxyindolic fluorimetric method. The catechol **amines** were sepd. and purified by sepn. on an alumina column (500 mg) and elution by AcOH. The yield from the columns was good for adrenaline and noradrenaline (80-90%) and satisfactory for **dopamine** (65-70%); all were eluted rapidly (20 ml of normal urine and 2 ml of the abnormal urine were loaded on to the columns). **Dopamine** was detd. in the eluate, after oxidn. with I. Adrenaline and noradrenaline were measured and differentiated after oxidn. by K₃FeCN₆ at pH 2.8 and 6.5. The intensity of fluorescence at these 2 pH values enabled the resp. concns. of these 2 **amines** in the urine to be detd. by a simple calcn. The fluorescence was measured with a spectrofluorimeter, the fluorescence of various **amine** oxides under different conditions are reported. The results found in normal and pathol. urine are recorded.

IT 51-61-6

RL: ANT (Analyte); ANST (Analytical study)
(detn. of, in urine and pheochromocytoma)

L47 ANSWER 34 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1965:45816 HCAPLUS

DOCUMENT NUMBER: 62:45816

ORIGINAL REFERENCE NO.: 62:8167a-b

TITLE: The tyrosine metabolism of insects. XVI. Tyrosine metabolism of the locust *Schistocerca gregaria*
AUTHOR(S): Karlson, Peter; Herrlich, Peter
CORPORATE SOURCE: Univ. Munich, Germany
SOURCE: Journal of Insect Physiology (1965), 11(1), 79-89
CODEN: JIPHAF; ISSN: 0022-1910

DOCUMENT TYPE: Journal

LANGUAGE: German

AB cf. CA 61, 15087c. In new expts., tyrosine (I) and related compds. (14C-labeled) were injected into the abdomens of *S. gregaria*. In *S. gregaria*, I was metabolized by 2 main pathways. Catabolism began by transamination and the resulting p-hydroxyphenylpyruvate was then converted to p-hydroxyphenylpropionate and p-hydroxybenzoate. The 2nd pathway led to tyramine (II) and **dopamine** (III), the precursors of the tanning agents, after which the 2 **amines** were N-acetylated. The fate of I depended upon the stage of development (growth) of the insects. Between the molts, phenolic acids were the main metabolites. At the molting stage, II and III production prevailed. The activities of the corresponding decarboxylases showed maxima shortly before and after molting. Schemes for the metabolism of I and related compds. are shown. The results are discussed in relation to previous work on the metabolism of I in *Calliphora* species.

IT 51-61-6, Pyrocatechol, 4-(2-aminoethyl)-
(as tyrosine metabolite in grasshoppers, molting and)

L47 ANSWER 35 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1963:484975 HCAPLUS

DOCUMENT NUMBER: 59:84975

ORIGINAL REFERENCE NO.: 59:15794a-g

TITLE: Catechol amines and 5-hydroxytryptamine in morphine tolerance and withdrawal

AUTHOR(S): Gunne, Lars M.

CORPORATE SOURCE: Karolinska Inst., Stockholm

SOURCE: Acta Physiologica Scandinavica (1963), 58(Suppl. 204), 91 pp.

CODEN: APSCAX; ISSN: 0001-6772

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The effects of long-term administration of morphine (I) and of its abrupt withdrawal as well as of nalorphine-induced abstinence were studied in

dogs, rats, and cats, mainly with reference to the brain content of noradrenaline (II), **dopamine** (III), and 5-hydroxytryptamine (IV), adrenal gland content of adrenaline (V) and II, and urinary output of II, V, and 5-hydroxyindoleacetic acid (VI). The abstinence syndrome in rats included signs of excitation, together with drowsiness, and ptosis. The abstinence syndrome in dogs, however, was purely excitatory. Acute administration of I reduced the brain II in rats and cats. After 4 daily I injections, brain II was restored in cats. After chronic I treatment the brain level of II, III, IV was normal in dogs. In rats the II level was supranormal after chronic I treatment, while the brain content of IV was unaltered. Nialamide caused a greater increase of II in I-tolerant rats than in controls. The II-releasing agents **.alpha.-methyldopa** and reserpine produced a smaller II decrease in brains of I-tolerant rats than in controls. During withdrawal and nalorphine-induced abstinence there was in dogs a redn. of II in all parts of the brain (telencephalon, brain stem, and cerebellum). In rats there were no changes of brain II or IV during I abstinence. Acute administration of I reduced the II and V content of the adrenal glands in rats and cats. After 5 days of I administration in cats, there was still a redn. of both **amines**, but beginning of replenishment of II was noted. After chronic I administration in dogs and rats a normal content of II and V was found in the adrenal glands. After I withdrawal there was a redn. of V in the adrenal glands of dogs and rats. Nalorphine-induced abstinence reduced the V level in the adrenals of dogs, and this depletion was probably mediated via the splanchnic nerves, since after unilateral splanchnic sectioning only the innervated gland contained definitely subnormal amts. of V. In I-tolerant rats nalorphine did not influence catechol **amine** content of adrenals. The first few I injections caused an increase of the urinary output of II and V in dogs and rats. After repeated injections, there was a return of the **2 amines** towards the normal level. II output returned to normal, while V remained supranormal in both species as long as I was given. Output of VI during longterm I administration appeared only to reflect changes in the diuresis both in dogs and rats. Following abrupt I withdrawal, there was a marked rise of urinary II and IV in dogs and rats. The increase of II outlasted the increase of V by 2-3 days in both species. A corresponding pattern of catechol **amine** excretion was also noticed in dogs when abstinence was produced by nalorphine. Urinary VI rose in I-tolerant dogs on the first day of withdrawal or administration of nalorphine, but a decrease was seen in rats. Again the fluctuations of urinary VI were secondary to changes in diuresis. It is concluded that acute administration of I activated the sympathetic nervous system, central as well as peripheral, eventually leading to a depletion of brain and adrenal stores of catechol **amines** in rats and cats. Longterm I administration induces an increased rate of resynthesis of catechol **amines**, probably as a response to the increased demands by the stimulated tissue. Signs of an accelerated resynthesis are found in brain and adrenals of rats and cats in the adrenals of dogs during chronic I treatment. I withdrawal and nalorphine-induced abstinence elicits rapid liberation of brain and adrenal catechol **amines** and the intensity of the abstinence syndrome in dogs is proportional to the depletion of brain catechol **amines**. Furthermore, max. symptoms coincide in time with max. urinary output of catechol **amines**. There are species differences between dogs and rats with regard to the behavioral manifestations of abstinence, and these are reflected by quant. differences in the catechol **amine** depletion between the **2 species**. The general pattern of catechol **amine** output following I withdrawal are, however, essentially the same in dogs and rats. Thus, these findings link the appearance and development of the I abstinence syndrome with a liberation of brain II and III. V appears to remain unaffected by chronic I treatment as well as by withdrawal.

IT 51-61-6, Pyrocatechol, 4-(2-aminoethyl)-
(morphine abstinence syndrome and)

L47 ANSWER 36 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1962:69837 HCAPLUS

DOCUMENT NUMBER: 56:69837

ORIGINAL REFERENCE NO.: 56:13492i,13493a-f

TITLE: Uptake of phenyl and indole alkylamines by the storage granules of the adrenal medulla in vitro

AUTHOR(S): Carlsson, A.; Hillarp, N. A.

CORPORATE SOURCE: Univ. Goteborg, Swed.

SOURCE: Medicina Experimentalis (1961), 5, 122-4

CODEN: MEXPAG; ISSN: 0543-3010

DOCUMENT TYPE: Journal

LANGUAGE: English

AB cf. CA 56, 9285b. Uptake of the **amines** by the granules was studied by incubating the **amine**-HCl with isolated granules from bovine adrenal medulla at 0 or 31.degree.. Detns. were made of the uptake of added **amine** and the granule content of adrenaline (I), noradrenaline (II), adenosine phosphates, and inorg. phosphate. **Dopamine** (III) and several other structurally related **amines** were rapidly (15-30 min.) taken up by the granules at 31.degree. but not at 0.degree.. The **amines** could be classified in 3 groups: (1) **amines** taken up in amts. corresponding to 20-40% of the I + II originally present in the granules, which included I, III, .alpha.-methyl-III, tyramine, octopamine, 3-methoxy-4-hydroxyphenethylamine, epinine, and hordenine; (2) **amines** with low (5-15%) uptake, including .beta.-phenethylamine, tryptamine, and 5-hydroxytryptamine; and (3) **amines** not taken up, including 3,4-dihydroxyphenylalanine, p-aminophenol, and NH₄⁺. The granules are capable of taking up **amines** of the 1st group against a concn. gradient. The incorporated **amines** are tightly bound and not released for days from granules suspended in 0.5M sucrose at 0.degree., but they are released by osmotic lysis of the granules. No cofactors, such as adenosine triphosphate or Mg⁺⁺, appear to be required; in fact, the **amines** are readily taken up from solns. of 0.3M sucrose. No evidence was found that the incorporation is an active, energy-requiring process. Uptake of III is not inhibited by 0.02M NaF, 1 mg./ml. ethylenediaminetetraacetate, 30 .gamma./ml. g-strophanthin, or 10-50 .gamma./ml. reserpine. However, granules from reserpine-treated rabbits show a much lower capacity for III than normal granules. Chlorpromazine (100-300 .gamma./ml.) strongly inhibits uptake but it is also a powerful releaser of stored I and II. **Amine** incorporation is pH dependent. It is high at pH 7.5 and 8, lower at 7, and low but still appreciable at 6. However, this does not indicate that the **amines** are taken up as uncharged mols., since the state of intragranular proteins which store the **amines** may be affected by pH also. All the **amines** of the 1st group, except I (which was not tested), release an amt. of I + II corresponding more or less to the amt. taken up. But no corresponding disappearance of the stored adenosine phosphates occurs. This supports the view that these phosphates are stored together with the **amines**. Thus, the incorporation represents mainly an exchange between stored I + II and the added **amines**, but some evidence indicated that initially, at least, part of the **amines** are taken up by other mechanisms. Phenethylamine, tyramine, octopamine, 3-methoxy-4-hydroxyphenethylamine, hordenine, and NH₄⁺ were more powerful releasers than II, III, .alpha.-methyl III, and epinine. Hence, the releasing power of the **amines** is not strictly correlated with the degree of their uptake or their basicity. It appears that the degree of hydroxylation of the benzene ring affects the releasing power and the binding at the storage sites in opposite directions.

IT 51-61-6, Pyrocatechol, 4-(2-aminoethyl)-
(absorption of, by adrenal gland granule, release of adrenaline and arterenol in relation to)

L47 ANSWER 37 OF 37 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1959:84564 HCAPLUS

DOCUMENT NUMBER: 53:84564

ORIGINAL REFERENCE NO.: 53:15276h-i

TITLE: Distribution of catechol compounds in human brain

AUTHOR(S): Sano, I.; Gamo, T.; Kakimoto, Y.; Taniguchi, K.;
Takesada, M.; Nishinuma, K.

CORPORATE SOURCE: Univ. Osaka

SOURCE: Biochimica et Biophysica Acta (1959), 32, 586-7

CODEN: BBACAQ; ISSN: 0006-3002

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The concns. of 3,4-dihydroxyphenylalanine (**dopa**), noradrenaline, and 4-(2-aminoethyl)pyrocatechol (**dopamine**) were estd. in different parts of human brain. **Dopa** was not concd. in any particular part of the organ. **Dopamine** was concd. in the subcortical nuclei and noradrenaline in the hypothalamus and in more caudal regions. The difference in distribution of the 2 **amines** suggested that **dopamine** functions in the brain, in the extrapyramidal system which regulates the central motor system, in a capacity in addn. to that of noradrenaline precursor.

IT 51-61-6, Pyrocatechol, 4-(2-aminoethyl)-
(in brain)

=> select rn 147 1-37

E12 THROUGH E194 ASSIGNED

=> fil reg

FILE 'REGISTRY' ENTERED AT 11:49:49 ON 22 JUN 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 JUN 2003 HIGHEST RN 534773-28-9

DICTIONARY FILE UPDATES: 20 JUN 2003 HIGHEST RN 534773-28-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

=>

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 12:06:31 ON 22 JUN 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 22 Jun 2003 VOL 138 ISS 26

FILE LAST UPDATED: 20 Jun 2003 (20030620/ED)

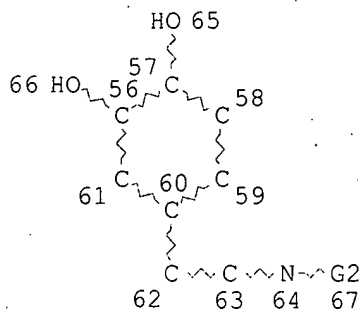
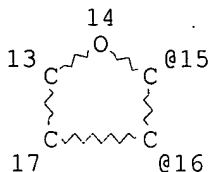
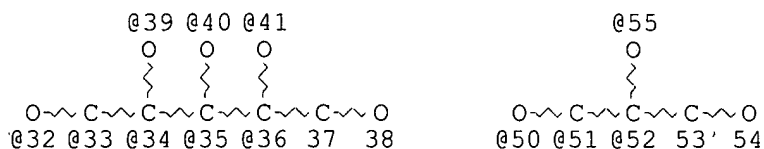
This file contains CAS Registry Numbers for easy and accurate substance identification.

=>

=>

=> d stat que 176

L67 STR



VAR G2=15/16/32/33/34/35/36/39/40/41/50/51/52/55

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

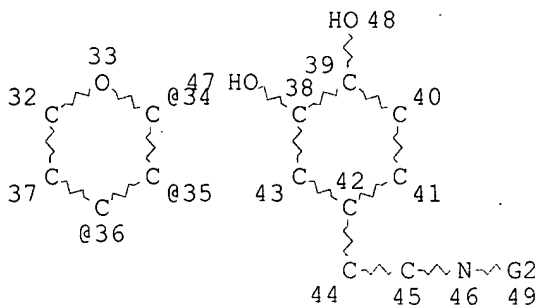
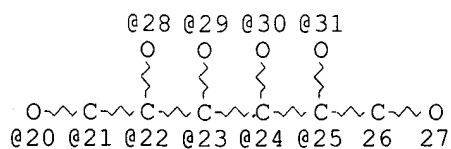
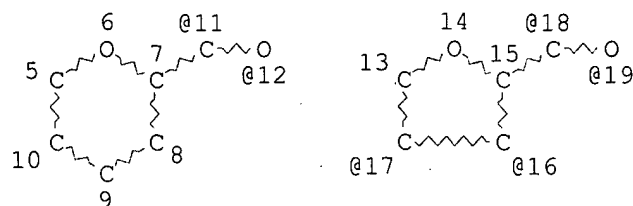
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE
L70 STR



VAR G2=11/12/18/19/16/17/20/21/22/23/24/25/28/29/30/31/34/35/36

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

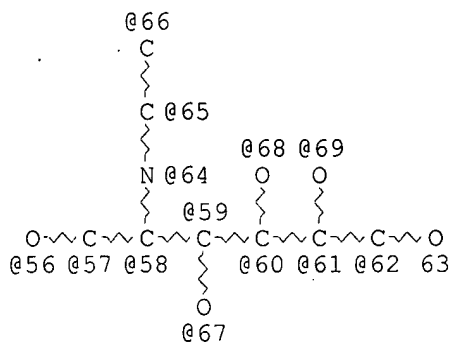
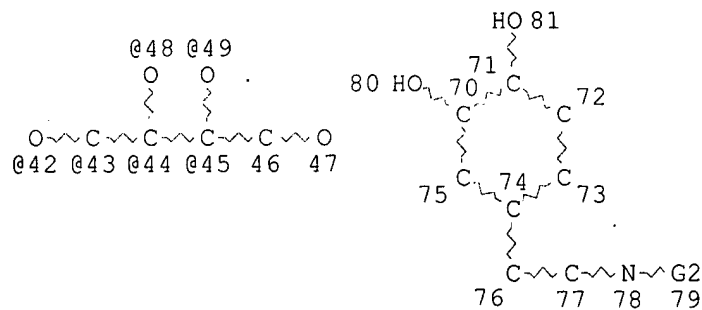
GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 45

STEREO ATTRIBUTES: NONE

L72 STR



VAR G2=42/43/44/45/48/49/56/57/58/59/60/61/62/64/65/66/67/68/69

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 34

STEREO ATTRIBUTES: NONE

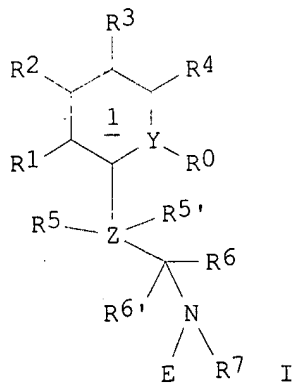
L75 25 SEA FILE=REGISTRY SSS FUL L67 OR L70 OR L72
L76 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L75

=>
=>

=> d ibib abs hitstr 176 1-3

L76 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:780925 HCAPLUS
DOCUMENT NUMBER: 135:335169
TITLE: Pharmaceutical dopamine glycoconjugate compositions
and methods of their preparation
INVENTOR(S): Christian, Samuel T.
PATENT ASSIGNEE(S): International Medical Innovations, Inc., USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001079244	A1	20011025	WO 2001-US11914	20010412
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6548484	B1	20030415	US 2000-547506	20000412
PRIORITY APPLN. INFO.:		US 2000-547506 A 20000412		
OTHER SOURCE(S):		MARPAT 135:335169		
GI				



AB Hydrophilic transportable N-linked glycosyl dopaminergic prodrug compds. (I), wherein, ring 1 comprises a cyclic or heterocyclic ring, or aryl or heteroaryl ring, all of said rings comprising 4 to 8 carbon atoms, among which atoms are counted "X" and "Y"; R0, R1, R2, R3 and R4 comprise substituents of Ring ; either of X or Y is optional; each of X and Y, when present comprise a carbon atom, a halogen atom or a lower alkyl; Z, R5 and R5' are optional; when Z is present it comprises a lower alkyl having substituents R5, R5'; R6 and R6' comprise substituents on a carbon atom linking Z with N through a single bond, or when Z is absent, linking N with Ring ; N comprises a nitrogen atom of an amine or an amide linked with E through a single bond and having R7 as a substituent; and E comprises a saccharide. Dopamine glucamine (II) was prepd. by the redn. of isopropylidene-protected dopamine gluconamide (prepn. given). Dopamine receptor binding activity of II was studied in vitro using COS-7 cells. A pharmaceutical powder contained II 2.5, sodium citrate 20.0, sorbitol 2.0, flavoring agent 0.1 mg, and water for reconstitution 10 mL.

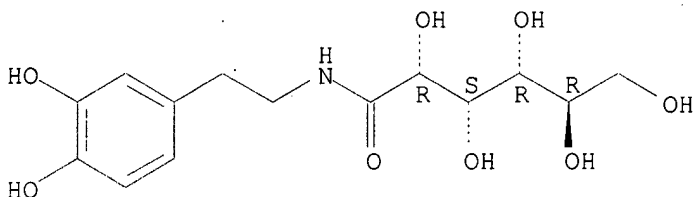
IT 369619-41-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceutical dopamine glycoconjugate compns. and methods of their prepn.)

RN 369619-41-0 HCAPLUS

CN D-Gluconamide, N-[2-(3,4-dihydroxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



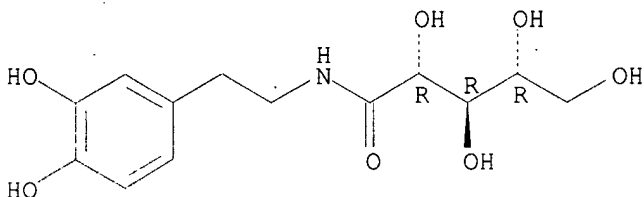
IT 369619-49-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(pharmaceutical dopamine glycoconjugate compns. and methods of their prepn.)

RN 369619-49-8 HCAPLUS

CN D-Ribonamide, N-[2-(3,4-dihydroxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L76 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:241135 HCAPLUS

DOCUMENT NUMBER: 132:279106

TITLE: Non-peptide GnRH agents, methods and intermediates for

their preparation

INVENTOR(S): Anderson, Mark Brian; Vazir, Haresh N.; Luthin, David
Robert; Paderes, Genevieve Deguzman; Pathak, Ved P.;
Christie, Lance Christopher; Hong, Yufeng; Tompkins,
Eileen Valenzuela; Li, Haitao; Faust, James

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA; et al.

SOURCE: PCT Int. Appl., 444 pp.
CODEN: PIXXD2

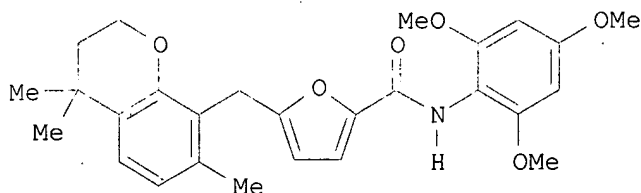
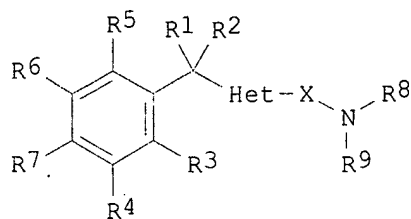
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020358	A2	20000413	WO 1999-US18790	19990820
WO 2000020358	A3	20001116		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2341346	AA	20000413	CA 1999-2341346	19990820
BR 9913374	A	20010515	BR 1999-13374	19990820
EP 1105120	A2	20010613	EP 1999-968010	19990820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EE 200100102	A	20020617	EE 2001-102	19990820
SI 20746	C	20020630	SI 1999-20076	19990820
JP 2002535244	T2	20021022	JP 2000-574479	19990820
AU 759310	B2	20030410	AU 2000-24709	19990820
NO 2001000309	A	20010411	NO 2001-309	20010119
LV 12732	B	20020320	LV 2001-45	20010316
BG 105362	A	20011231	BG 2001-105362	20010319
LT 4904	B	20020425	LT 2001-24	20010319
PRIORITY APPLN. INFO.:		US 1998-97520P P 19980820 WO 1999-US18790 W 19990820		
OTHER SOURCE(S):		MARPAT 132:279106		
GI				



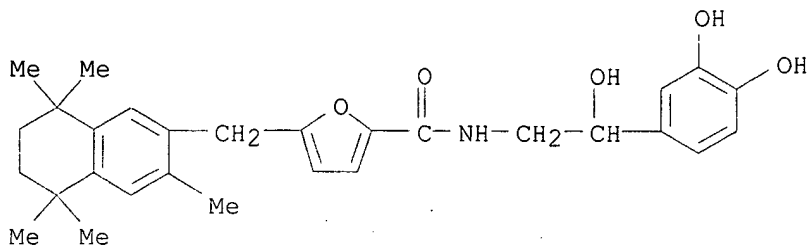
AB Non-peptide GnRH agents capable of inhibiting the effect of gonadotropin-releasing hormone are described. The compds. and their pharmaceutically acceptable salts, multimers, prodrugs, and active metabolites are suitable for treating mammalian reproductive disorders and steroid hormone-dependent tumors as well as for regulating fertility, where suppression of gonadotropin release is indicated. The compds. include those of formula I [X = C:O, C:S, S:O, or SO₂; Het = 5-membered NOS-heterocycle; R₁, R₂ = H, alkyl; R₃-R₇ = H, halo, (un)substituted alkyl, aryl, heteroaryl, CH₂OR, OR, CO₂R; R = alkyl, aryl, etc.; adjacent rings positions such as R₆R₇ may form (un)substituted 5- or 6-membered ring with up to 4 heteroatoms; R₈ = lipophilic moiety such as alkyl, aryl, CH₂OR, OR, etc.; R₉ = H, (un)substituted alkyl]. Methods and intermediates for synthesizing the compds. are also described. For instance, 4,4,7-trimethylchroman (prepn. given) was alkylated in the 6- and 8-positions using Et 5-(chloromethyl)-2-furoate (46% total yield), and the resulting esters were hydrolyzed to a mixt. of acids. This unsepd. mixt. was treated with SOCl₂ and amidated with 2,4,6-trimethoxyphenylamine-HCl to give the invention compd. II and its chroman-6-position isomer, which were sepd. by HPLC. Several compds. exhibited high affinity (<100 nM) at human GnRH receptors. The compds. antagonized GnRH-stimulated inositol phosphate accumulation in cells with recombinant human GnRH receptors, and an example compd. reduced plasma LH levels in castrated male rats. Various biol. data for several hundred compds. are given.

IT 263855-60-3P 263855-67-0P

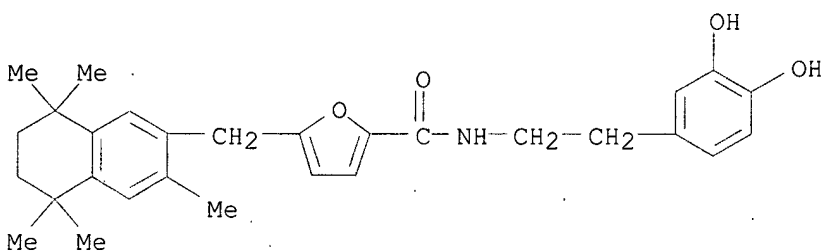
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of non-peptide GnRH agents for regulating gonadotropin secretion)

RN 263855-60-3 HCAPLUS

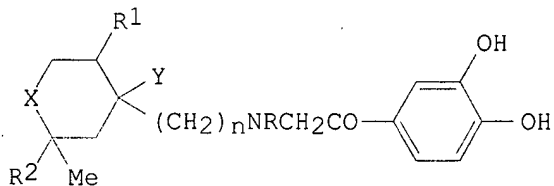
CN 2-Furancarboxamide, N-[2-(3,4-dihydroxyphenyl)-2-hydroxyethyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)



RN 263855-67-0 HCAPLUS
 CN 2-Furancarboxamide, N-[2-(3,4-dihydroxyphenyl)ethyl]-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)



L76 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1988:150256 HCAPLUS
 DOCUMENT NUMBER: 108:150256
 TITLE: Synthesis of new catecholamines containing six-membered heterocycles in the amine fragment
 AUTHOR(S): Tosunyan, A. O.; Manucharyan, G. I.; Oganesyan, Z. V.
 CORPORATE SOURCE: Inst. Tonkoi Org. Khim. im. Mndzhoyana, Yerevan, USSR
 SOURCE: Armyanskii Khimicheskii Zhurnal (1987), 40(5), 318-22
 CODEN: AYKZAN; ISSN: 0515-9628
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 OTHER SOURCE(S): CASREACT 108:150256
 GI

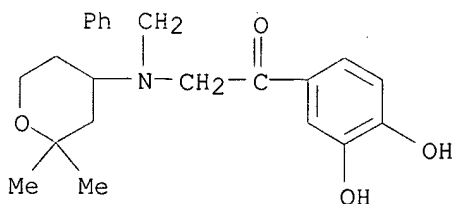


AB Catecholamines I (R = PhCH₂, PhOCH₂, Ph, Me, H, R₁ = H, Me, R₂ = H, Me, Y = H, CN, OH, X = O, NMe, S, n = 0, 1) were prepd. in 44-63% yields from the corresponding amines and 3',4'-dihydroxy-2-chloroacetophenone. Redn. of I by NaBH₄ gave the corresponding alcs.

IT 113642-89-0P 113642-90-3P 113642-91-4P
 113642-92-5P 113642-93-6P 113642-95-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and redn. by sodium borohydride)

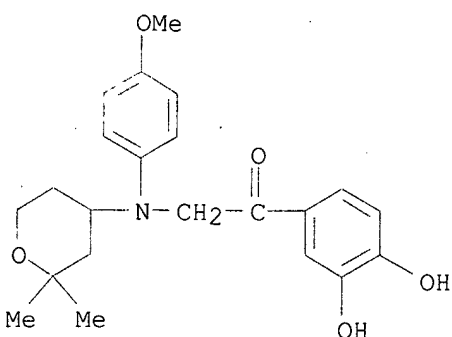
RN 113642-89-0 HCAPLUS

CN Ethanone, 1-(3,4-dihydroxyphenyl)-2-[(phenylmethyl)(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)



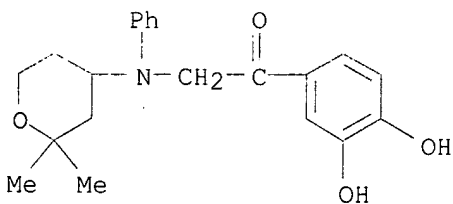
RN 113642-90-3 HCAPLUS

CN Ethanone, 1-(3,4-dihydroxyphenyl)-2-[(4-methoxyphenyl)(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)



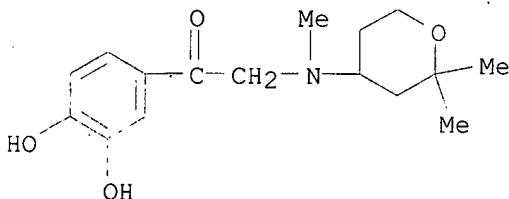
RN 113642-91-4 HCAPLUS

CN Ethanone, 1-(3,4-dihydroxyphenyl)-2-[phenyl(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)



RN 113642-92-5 HCAPLUS

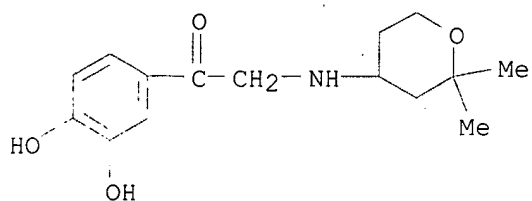
CN Ethanone, 1-(3,4-dihydroxyphenyl)-2-[methyl(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)



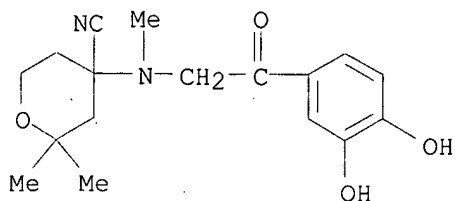
RN 113642-93-6 HCAPLUS

CN Ethanone, 1-(3,4-dihydroxyphenyl)-2-[(tetrahydro-2,2-dimethyl-2H-pyran-4-

yl)amino]- (9CI) (CA INDEX NAME)

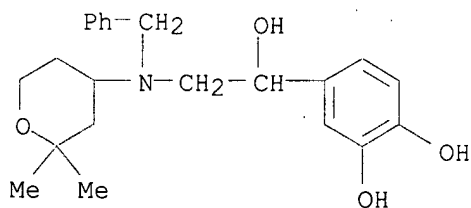


RN 113642-95-8 HCAPLUS
 CN 2H-Pyran-4-carbonitrile, 4-[[2-(3,4-dihydroxyphenyl)-2-oxoethyl]methylamino]tetrahydro-2,2-dimethyl- (9CI) (CA INDEX NAME)

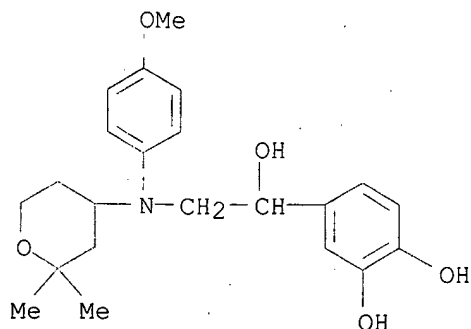


IT 113642-97-0P 113642-98-1P 113642-99-2P
 113643-00-8P 113643-05-3P 113643-06-4P
 113643-07-5P 113643-08-6P 113643-10-0P
 113643-12-2P 113643-14-4P 113643-15-5P
 113643-16-6P 113643-17-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

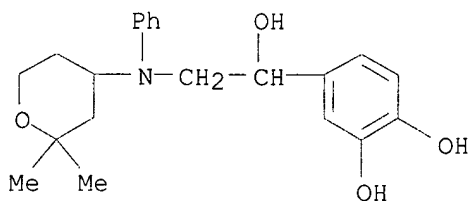
RN 113642-97-0 HCAPLUS
 CN 1,2-Benzenediol, 4-[1-hydroxy-2-[(phenylmethyl)(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



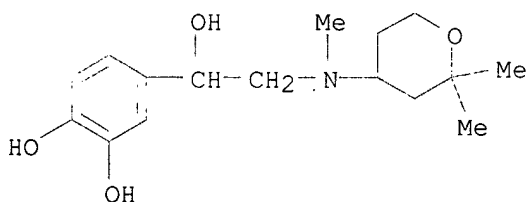
RN 113642-98-1 HCAPLUS
 CN 1,2-Benzenediol, 4-[1-hydroxy-2-[(4-methoxyphenyl)(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



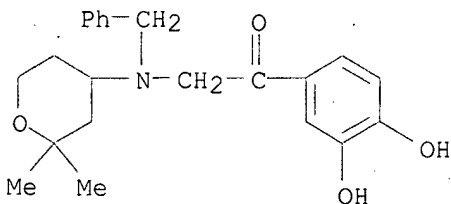
RN 113642-99-2 HCAPLUS
 CN 1,2-Benzenediol, 4-[1-hydroxy-2-[phenyl(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



RN 113643-00-8 HCAPLUS
 CN 1,2-Benzenediol, 4-[1-hydroxy-2-[methyl(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]ethyl]- (9CI) (CA INDEX NAME)



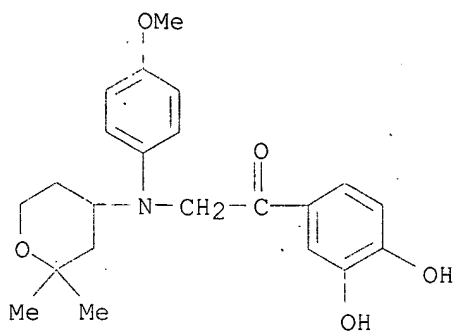
RN 113643-05-3 HCAPLUS
 CN Ethanone, 1-(3,4-dihydroxyphenyl)-2-[(phenylmethyl)(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]-, hydrochloride (9CI) (CA INDEX NAME)



HCl

RN 113643-06-4 HCAPLUS
 CN Ethanone, 1-(3,4-dihydroxyphenyl)-2-[(4-methoxyphenyl)(tetrahydro-2,2-

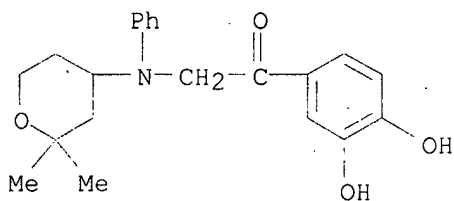
dimethyl-2H-pyran-4-yl)amino]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 113643-07-5 HCAPLUS

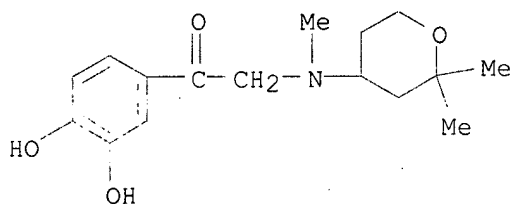
CN Ethanone, 1-(3,4-dihydroxyphenyl)-2-[phenyl(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 113643-08-6 HCAPLUS

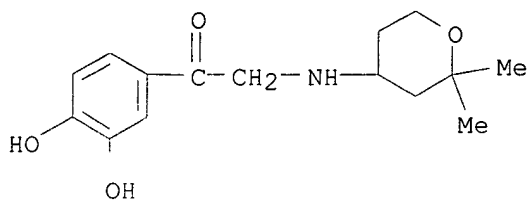
CN Ethanone, 1-(3,4-dihydroxyphenyl)-2-[methyl(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

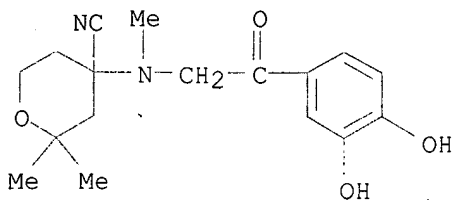
RN 113643-10-0 HCAPLUS

CN Ethanone, 1-(3,4-dihydroxyphenyl)-2-[(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]-, hydrochloride (9CI) (CA INDEX NAME)



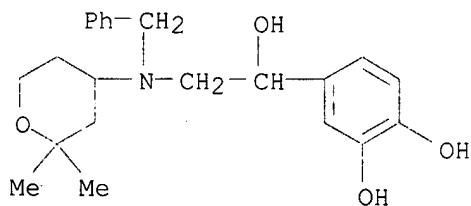
● HCl

RN 113643-12-2 HCAPLUS
 CN 2H-Pyran-4-carbonitrile, 4-[[2-(3,4-dihydroxyphenyl)-2-oxoethyl]methylamino]tetrahydro-2,2-dimethyl-, monohydrochloride (9CI)
 (CA INDEX NAME)



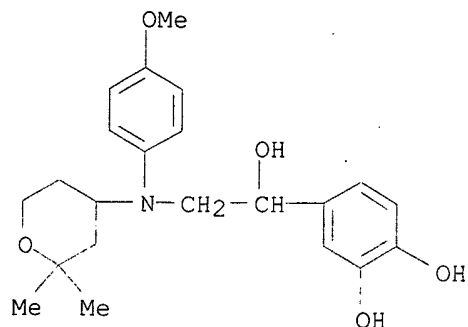
● HCl

RN 113643-14-4 HCAPLUS
 CN 1,2-Benzenediol, 4-[1-hydroxy-2-[(phenylmethyl)(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

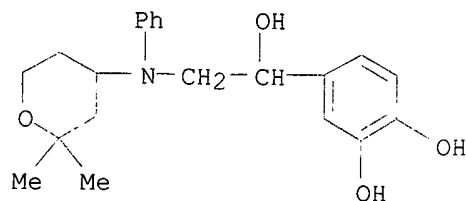
RN 113643-15-5 HCAPLUS
 CN 1,2-Benzenediol, 4-[1-hydroxy-2-[(4-methoxyphenyl)(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 113643-16-6 HCAPLUS

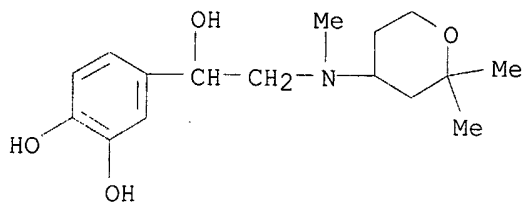
CN 1,2-Benzenediol, 4-[1-hydroxy-2-[phenyl(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 113643-17-7 HCAPLUS

CN 1,2-Benzenediol, 4-[1-hydroxy-2-[methyl(tetrahydro-2,2-dimethyl-2H-pyran-4-yl)amino]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

=> d his

(FILE 'HOME' ENTERED AT 18:14:11 ON 23 JUN 2003)

FILE 'EUROPATFULL, PATDPAFULL, PCTFULL, RDISCLOSURE, USPATFULL, USPAT2, WPIDS' ENTERED AT 18:15:14 ON 23 JUN 2003

E CHRISTIAN S/IN

L1	40 S E7-E12
L2	3 S L1 AND (CARBOHYDRATE# OR GLYCO?)
	E INTERNATIONAL MEDICAL/PA
L3	22 S E4-E12
L4	3 S L3 AND (CARBOHYDRATE# OR GLYCO?)

d ibib 1-3

L4 ANSWER 1 OF 3 EUROPATFULL COPYRIGHT 2003 WILA

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 415150 EUROPATFULL EW 199110 FS OS STA B
TITLE: Phantom kidney stone system.
Phantom-Nierensteinsystem.
Systeme de simulation d'un calcul renal.
INVENTOR(S): Schafer, Mark E., 612 Elmway Circle, Blue Bell,
Pennsylvania 19422, US
PATENT ASSIGNEE(S): **INTERNATIONAL SONIC TECHNOLOGIES, A DIVISION**
OF AMERICAN MEDICAL IMAGING
CORPORATION, 101 Gibraltar Road, Horsham,
Pennsylvania 19044, US
PATENT ASSIGNEE NO: 1264050
AGENT: DIEHL GLAESER HILTL & PARTNER, Patentanwaelte
Koenigstrasse 28, W-2000 Hamburg 50, DE
AGENT NUMBER: 100232
OTHER SOURCE: ESP1991016 EP 0415150 A1 910306
SOURCE: Wila-EPZ-1991-H10-T2
DOCUMENT TYPE: Patent
LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R DE; R FR
PATENT INFO.PUB.TYPE: EPA1 EUROPAEISCHE PATENTANMELDUNG
PATENT INFORMATION:

PATENT NO	KIND	DATE
EP 415150	A1	19910306
		19910306
APPLICATION INFO.: EP 1990-115359		19900810
PRIORITY APPLN. INFO.: US 1989-401625		19890831

'OFFENLEGUNGS' DATE:

L4 ANSWER 2 OF 3 USPATFULL

ACCESSION NUMBER: 1998:57544 USPATFULL
TITLE: Multidose transdermal drug delivery system
INVENTOR(S): D'Angelo, Joseph P., Miami, FL, United States
Schur, Henry, Miami, FL, United States
PATENT ASSIGNEE(S): **International Medical Associates, Inc.**,
Miami, FL, United States (U.S. corporation)

NUMBER	KIND	DATE
--------	------	------

PATENT INFORMATION:	US 5756117	19980526
APPLICATION INFO.:	US 1992-927837	19920810 (7)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1992-865309, filed on 8 Apr 1992, now abandoned	
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Phelan, D. Gabrielle	
LEGAL REPRESENTATIVE:	Lerner, Herbert L., Greenberg, Laurence A.	
NUMBER OF CLAIMS:	21	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	6 Drawing Figure(s); 5 Drawing Page(s)	
LINE COUNT:	692	

L4 ANSWER 3 OF 3 USPATFULL

ACCESSION NUMBER: 97:24735 USPATFULL
TITLE: Method of transdermally administering high molecular

INVENTOR(S): weight drugs with a polymer skin enhancer
D'Angelo, Joseph P., Miami, FL, United States
Schur, Henry, Miami, FL, United States
PATENT ASSIGNEE(S): **International Medical Associates, Inc.**,
Miami, FL, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5614212		19970325
APPLICATION INFO.:	US 1993-139316		19931019 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1992-865309, filed on 8 Apr 1992, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Phelan, D. Gabrielle		
LEGAL REPRESENTATIVE:	Lerner, Herbert L., Greenberg, Laurence A.		
NUMBER OF CLAIMS:	4		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	14 Drawing Figure(s); 8 Drawing Page(s)		
LINE COUNT:	717		